10/684,598

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NEWS	8	DEC 15	MEDLINE update schedule for December 2004
NEWS	9	DEC 17	ELCOM reloaded; updating to resume; current-awareness alerts (SDIs) affected
NEWS	10	DEC 17	COMPUAB reloaded; updating to resume; current-awareness alerts (SDIs) affected
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NEWS	13	DEC 17	THREE NEW FIELDS ADDED TO IFIPAT/IFIUDB/IFICDB
NEWS	14	DEC 30	EPFULL: New patent full text database to be available on STN
NEWS	15	DEC 30	CAPLUS - PATENT COVERAGE EXPANDED
NEWS	16	JAN 03	No connect-hour charges in EPFULL during January and February 2005
NEWS	17	FEB 25	CA/CAPLUS - Russian Agency for Patents and Trademarks (ROSPATENT) added to list of core patent offices covered
NEWS	18	FEB 10	STN Patent Forums to be held in March 2005
NEWS	19	FEB 16	STN User Update to be held in conjunction with the 229th ACS National Meeting on March 13, 2005
NEWS	20	FEB 28	PATDPAFULL - New display fields provide for legal status data from INPADOC
NEWS	21	FEB 28	BABS - Current-awareness alerts (SDIs) available
NEWS	22	FEB 28	MEDLINE/LMEDLINE reloaded
NEWS	23	MAR 02	GBFULL: New full-text patent database on STN
NEWS	24	MAR 03	REGISTRY/ZREGISTRY - Sequence annotations enhanced
NEWS	25	MAR 03	MEDLINE file segment of TOXCENTER reloaded

NEWS EXPRESS	JANUARY 10 CURRENT WINDOWS VERSION IS V7.01a, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 10 JANUARY 2005
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
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* * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 14:37:38 ON 12 MAR 2005

=> fil reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 14:37:50 ON 12 MAR 2005
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STRUCTURE FILE UPDATES: 11 MAR 2005 HIGHEST RN 845457-93-4
DICTIONARY FILE UPDATES: 11 MAR 2005 HIGHEST RN 845457-93-4

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

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Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
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=> fil casreact

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.43	0.64

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FILE CONTENT:1840 - 6 Mar 2005 VOL 142 ISS 10

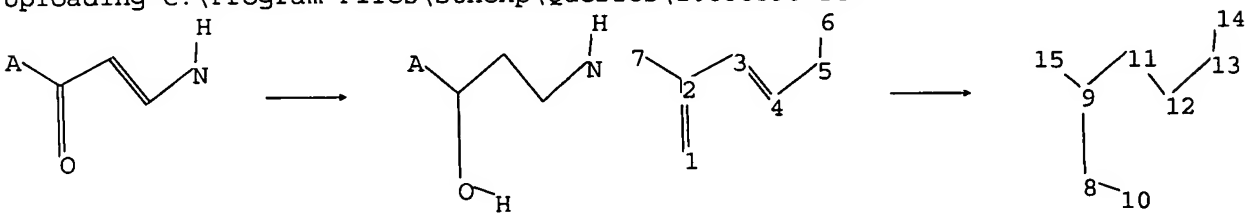
****
*
* CASREACT now has more than 8 million reactions *
*

****

Some CASREACT records are derived from the ZIC/VINITI database (1974-1991) provided by InfoChem, INPI data prior to 1986, and Biotransformations database compiled under the direction of Professor Dr. Klaus Kieslich.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=>
Uploading C:\Program Files\Stnexp\Queries\10686598.str

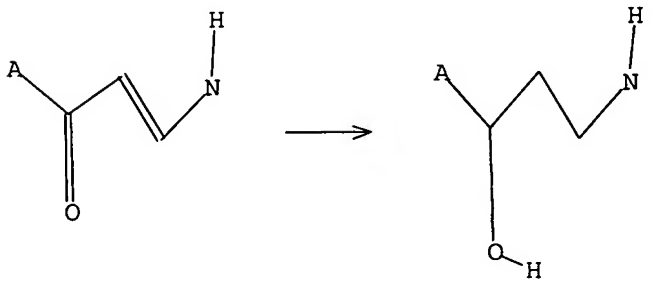


chain nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15
chain bonds :
1-2 2-3 2-7 3-4 4-5 5-6 8-9 8-10 9-11 9-15 11-12 12-13 13-14
exact/norm bonds :
1-2 2-7 4-5 8-9 9-15 12-13
exact bonds :
2-3 3-4 5-6 8-10 9-11 11-12 13-14

Match level :
1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS
fragments assigned product role:
containing 8
fragments assigned reactant/reagent role:
containing 1

L1 STRUCTURE UPLOADED

=> d query
L1 STR



Structure attributes must be viewed using STN Express query preparation.

```
=> s l1
SAMPLE SEARCH INITIATED 14:38:23 FILE 'CASREACT'
SCREENING COMPLETE -   2768 REACTIONS TO VERIFY FROM    311 DOCUMENTS

100.0% DONE   2768 VERIFIED       3 HIT RXNS                    2 DOCS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED VERIFICATIONS:     52214 TO    58506
PROJECTED ANSWERS:               2 TO      124

L2             2 SEA SSS SAM L1 (     3 REACTIONS)

=> s l1 full
FULL SEARCH INITIATED 14:38:28 FILE 'CASREACT'
SCREENING COMPLETE -  46011 REACTIONS TO VERIFY FROM   5572 DOCUMENTS

100.0% DONE  46011 VERIFIED     279 HIT RXNS                   68 DOCS
SEARCH TIME: 00.00.02

L3            68 SEA SSS FUL L1 (   279 REACTIONS)

=> d l3 1-68
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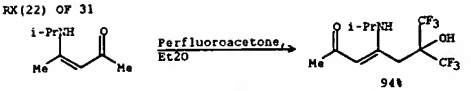
L3 ANSWER 1 OF 68 CASREACT COPYRIGHT 2005 ACS on STN

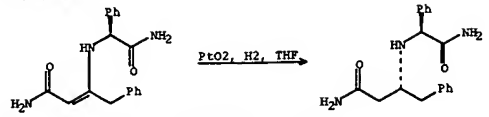
RX(22) OF 31



REF: Journal of Fluorine Chemistry, 125(6), 1039-1049; 2004

L3 ANSWER 2 OF 68 CASREACT COPYRIGHT 2005 ACS on STN

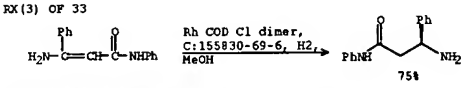
RX(23) OF 105



REF: PCT Int. Appl., 2004085661, 07 Oct 2004

L3 ANSWER 3 OF 68 CASREACT COPYRIGHT 2005 ACS on STN

RX(3) OF 33



REF: PCT Int. Appl., 2004085378, 07 Oct 2004
NOTE: stereoselective

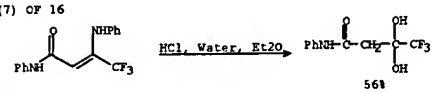
L3 ANSWER 4 OF 68 CASREACT COPYRIGHT 2005 ACS on STN

RX(68) OF 221 - 2 STEPS

REF: Journal of Fluorine Chemistry, 125(1), 55-61; 2004
NOTE: 1) Raney nickel used as catalyst, high pressure

L3 ANSWER 5 OF 68 CASREACT COPYRIGHT 2005 ACS on STN

RX(7) OF 16



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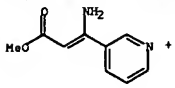
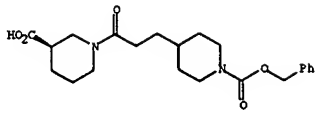
REF: Synthesis, (13), 2005-2010; 2003

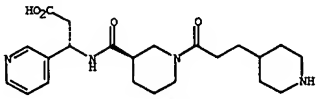
L3 ANSWER 6 OF 68 CASREACT COPYRIGHT 2005 ACS on STN

RX(62) OF 147 - 3 STEPS





RX(62) OF 147 - 3 STEPS
1.1. AcOH, NaBH4, THF
1.2. MeOH
1.3. HCl
2.1. Et3N, MeCN
2.2. L-(+)-Tartaric acid, EtOH, Water
2.3. EtOH, Water
3.1. 1-Benzotriazolol, KH2PO4, Na2HPO4, Ca(OH)2, Water,



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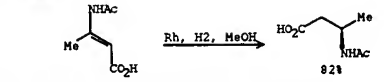
REF: Organic Process Research & Development, 7(6), 866-872; 2003
NOTE: 1) stereoselective

L3 ANSWER 7 OF 68 CASREACT COPYRIGHT 2005 ACS on STN

RX(11) OF 23

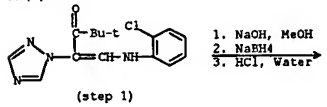


82%

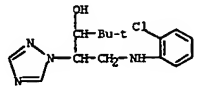
REF: PCT Int. Appl., 2003099832, 04 Dec 2003
NOTE: stereoselective

L3 ANSWER 8 OF 68 CASREACT COPYRIGHT 2005 ACS on STN

RX(7) OF 35



(step 1)

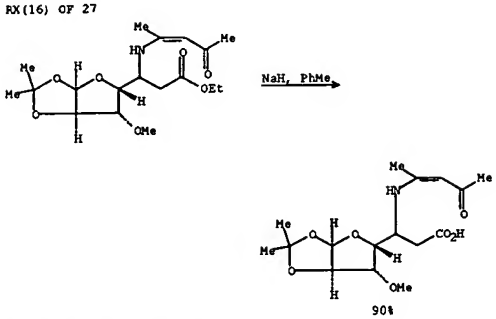


94%

REF: Chinese Chemical Letters, 14(5), 471-474; 2003
NOTE: product formed depends on reaction conditions (NaOH)

L3 ANSWER 9 OF 68 CASREACT COPYRIGHT 2005 ACS on STN

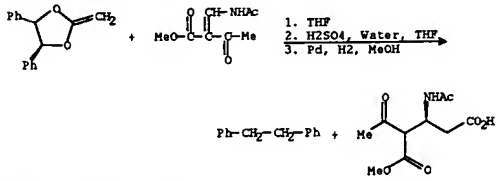
RX(16) OF 27



REF: Tetrahedron Letters, 44(35), 6639-6642; 2003

L3 ANSWER 10 OF 68 CASREACT COPYRIGHT 2005 ACS on STN

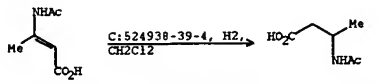
RX(91) OF 128 - 3 STEPS



REF: Tetrahedron, 59(3), 341-352; 2003
NOTE: 1) stereoselective

L3 ANSWER 11 OF 68 CASREACT COPYRIGHT 2005 ACS on STN

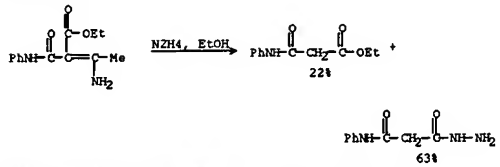
RX(31) OF 114



REF: European Journal of Organic Chemistry, (1), 138-150; 2003
NOTE: optimization study, stereoselective

L3 ANSWER 12 OF 68 CASREACT COPYRIGHT 2005 ACS on STN

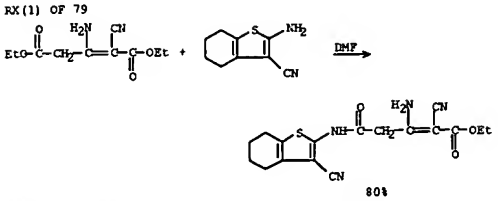
RX(20) OF 41



REF: Synthetic Communications, 32(24), 3767-3777; 2002
NOTE: stereoselective

L3 ANSWER 13 OF 68 CASREACT COPYRIGHT 2005 ACS on STN

RX(1) OF 79



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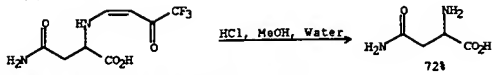
REF: Monatshefte fuer Chemie, 133(11), 1443-1452; 2002

L3 ANSWER 14 OF 68 CASREACT COPYRIGHT 2005 ACS on STN

RX(3) OF 43

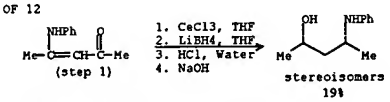


72%

REF: Synthesis, (16), 2409-2415; 2002
NOTE: alternative prepn. shown

L3 ANSWER 15 OF 68 CASREACT COPYRIGHT 2005 ACS on STN

RX(6) OF 12



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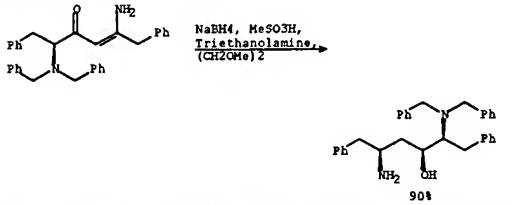
REF: Tetrahedron Letters, 43(41), 7441-7444; 2002

L3 ANSWER 16 OF 68 CASREACT COPYRIGHT 2005 ACS on STN

RX(9) OF 153

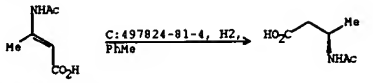


90%

REF: Bioorganic & Medicinal Chemistry Letters, 12(21), 3101-3103; 2002
NOTE: stereoselective

L3 ANSWER 17 OF 68 CASREACT COPYRIGHT 2005 ACS on STN

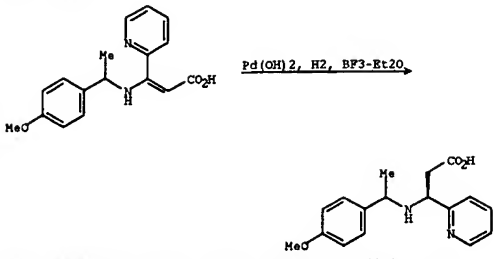
RX(17) OF 53



REF: Tetrahedron: Asymmetry, 13(15), 1615-1620; 2002
NOTE: other solvent gave similar yield, stereoselective

L3 ANSWER 18 OF 68 CASREACT COPYRIGHT 2005 ACS on STN

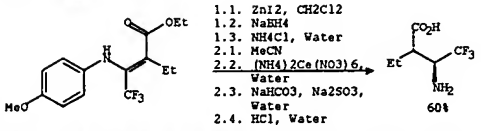
RX(10) OF 55



REF: Tetrahedron Letters, 43(11), 1977-1981; 2002
NOTE: stereoselective, alternative reaction condition shown

L3 ANSWER 19 OF 68 CASREACT COPYRIGHT 2005 ACS on STN

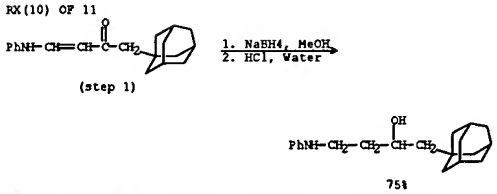
RX(71) OF 81 - 2 STEPS



REF: Journal of Organic Chemistry, 67(14), 4667-4679; 2002
NOTE: 1) stereoselective, syn/anti 95/5, 2) stereoselective

L3 ANSWER 20 OF 68 CASREACT COPYRIGHT 2005 ACS on STN

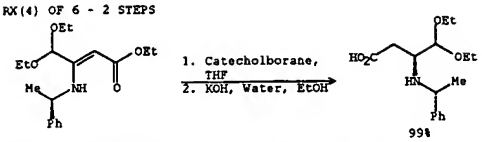
RX(10) OF 11



REF: Russian Journal of General Chemistry (Translation of Zhurnal Obshchei Khimii), 71(7), 1126-1129; 2001

L3 ANSWER 21 OF 68 CASREACT COPYRIGHT 2005 ACS on STN

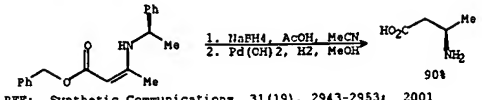
RX(4) OF 6 - 2 STEPS



REF: Jpn. Kokai Tokkyo Koho, 2002080472, 19 Mar 2002
NOTE: 1) 92% overall

L3 ANSWER 22 OF 68 CASREACT COPYRIGHT 2005 ACS on STN

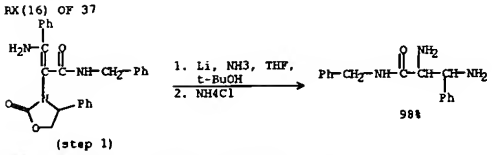
RX(3) OF 3 - 2 STEPS



REF: Synthetic Communications, 31(19), 2943-2953; 2001
NOTE: 1) stereoselective

L3 ANSWER 23 OF 68 CASREACT COPYRIGHT 2005 ACS on STN

RX(16) OF 37



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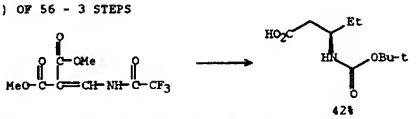
REF: Tetrahedron, 57(33), 7205-7212; 2001

L3 ANSWER 24 OF 68 CASREACT COPYRIGHT 2005 ACS on STN

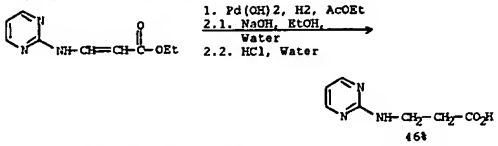
RX(51) OF 56 - 3 STEPS



REF: Journal of the American Chemical Society, 123(39), 9708-9709; 2001
NOTE: 1) stereoselective, in-situ generated reactants, 2) thermal

L3 ANSWER 25 OF 68 CASREACT COPYRIGHT 2005 ACS on STN

RX(104) OF 139 - 2 STEPS



46%

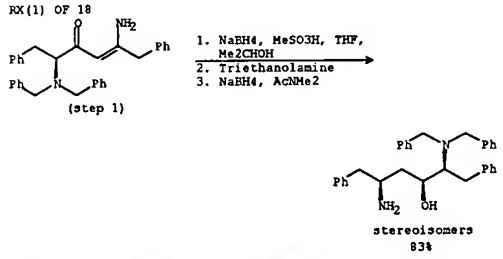
REF: Journal of Medicinal Chemistry, 44(8), 1217-1230; 2001

L3 ANSWER 26 OF 68 CASREACT COPYRIGHT 2005 ACS on STN

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

L3 ANSWER 27 OF 68 CASREACT COPYRIGHT 2005 ACS on STN

RX(1) OF 18



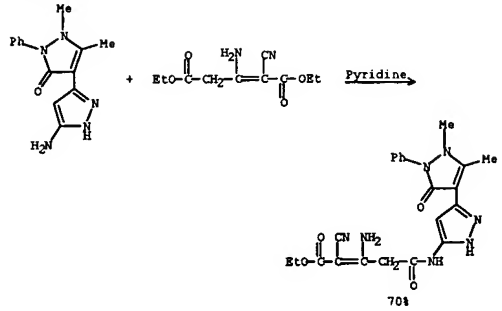
stereoisomers

83%

REF: Organic Process Research & Development, 3(2), 94-100; 1999
NOTE: stereoselective key step

L3 ANSWER 28 OF 68 CASREACT COPYRIGHT 2005 ACS on STN

RX(3) OF 17

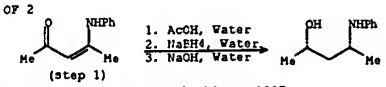


70%

REF: Alexandria Journal of Pharmaceutical Sciences, 12(1), 11-15; 1998

L3 ANSWER 29 OF 68 CASREACT COPYRIGHT 2005 ACS on STN

RX(1) OF 2

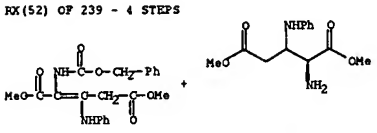


(step 1)

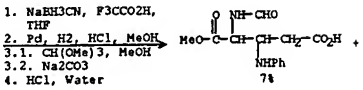
REF: Braz. Pedido PI, 9502467, 26 Aug 1997
NOTE: stereoselective

L3 ANSWER 30 OF 68 CASREACT COPYRIGHT 2005 ACS on STN

RX(52) OF 239 - 4 STEPS

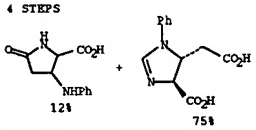


HCl



7%

RX(52) OF 239 - 4 STEPS



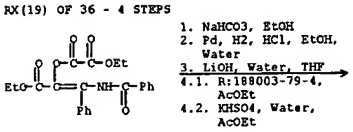
12%

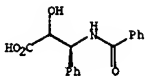
75%

REF: Tetrahedron, 53(8), 2775-2784; 1997
NOTE: 3) key step

L3 ANSWER 31 OF 68 CASREACT COPYRIGHT 2005 ACS on STN

RX(19) OF 36 - 4 STEPS

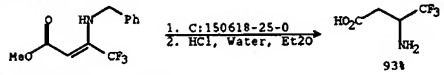




REF: U.S., 5602272, 11 Feb 1997
NOTE: 2) stereoselective, key step, 4) key step, resoln.

L3 ANSWER 32 OF 68 CASREACT COPYRIGHT 2005 ACS on STN

RX(5) OF 6 - 2 STEPS

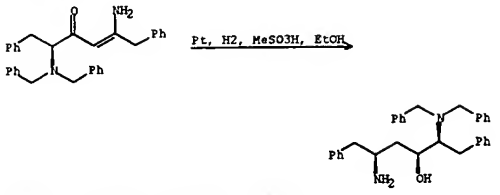


93%

REF: Tetrahedron, 52(20), 6953-6964; 1996
NOTE: 1) stereoselective

L3 ANSWER 33 OF 68 CASREACT COPYRIGHT 2005 ACS on STN

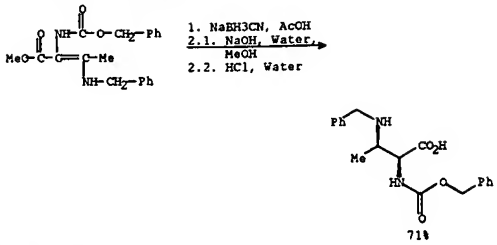
RX(6) OF 13



REF: PCT Int. Appl., 9604232, 15 Feb 1996
NOTE: 5-23.degree. and 250-1000 psi

L3 ANSWER 34 OF 68 CASREACT COPYRIGHT 2005 ACS on STN

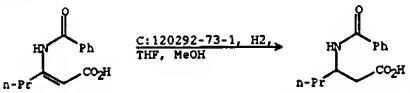
RX(20) OF 31 - 2 STEPS



REF: Heterocycles, 42(2), 849-59, 1996

L3 ANSWER 35 OF 68 CASREACT COPYRIGHT 2005 ACS on STN

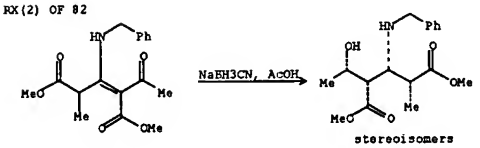
RX(1) OF 9



REF: Jpn. Kokai Tokkyo Koho, 06271520, 27 Sep 1994, Heisei
NOTE: hydrogen pressure 5 atm and 50.degree.; 100% conversion and 83% e.e.

L3 ANSWER 36 OF 68 CASREACT COPYRIGHT 2005 ACS on STN

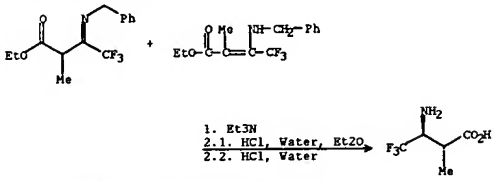
RX(2) OF 82



REF: Chemical & Pharmaceutical Bulletin, 42(12), 2467-71, 1994
NOTE: KEY STEP, STEREOSELECTIVE

L3 ANSWER 37 OF 68 CASREACT COPYRIGHT 2005 ACS on STN

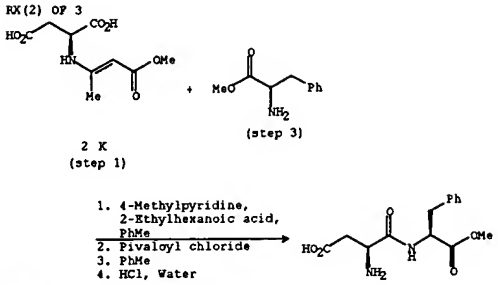
RX(7) OF 16 - 2 STEPS



REF: Tetrahedron: Asymmetry, 5(7), 1225-8; 1994
NOTE: 1) key step; stereoselective

L3 ANSWER 38 OF 68 CASREACT COPYRIGHT 2005 ACS on STN

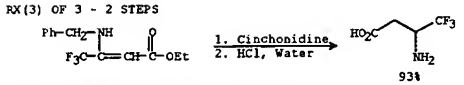
RX(2) OF 3



REF: Span., 2042417, 01 Dec 1993
NOTE: KEY STEP

L3 ANSWER 39 OF 68 CASREACT COPYRIGHT 2005 ACS on STN

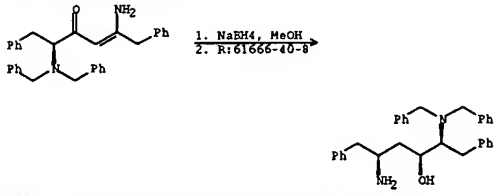
RX(3) OF 3 - 2 STEPS



REF: Tetrahedron Letters, 35(28), 5063-4; 1994
NOTE: 1) stereoselective / key step

L3 ANSWER 40 OF 68 CASREACT COPYRIGHT 2005 ACS on STN

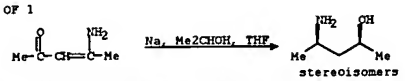
RX(10) OF 21 - 2 STEPS



REF: Journal of Organic Chemistry, 59(15), 4040-1; 1994
NOTE: 1) stereoselective, 2) stereoselective

L3 ANSWER 41 OF 68 CASREACT COPYRIGHT 2005 ACS on STN

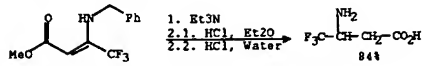
RX(1) OF 1



REF: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999), (5), 537-43; 1994
NOTE: 76% overall

L3 ANSWER 42 OF 68 CASREACT COPYRIGHT 2005 ACS on STN

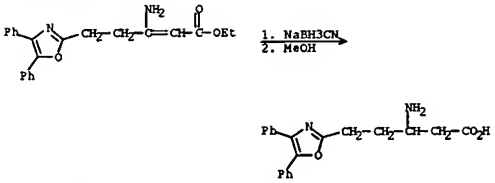
RX(15) OF 22 - 2 STEPS



REF: Tetrahedron Letters, 34(22), 3621-4; 1993
NOTE: 1) key step

L3 ANSWER 43 OF 68 CASREACT COPYRIGHT 2005 ACS on STN

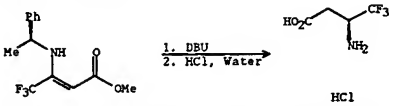
RX(11) OF 29 - 2 STEPS



REF: Heterocycles, 30(2, Spec. Issue), 863-9; 1990

L3 ANSWER 44 OF 68 CASREACT COPYRIGHT 2005 ACS on STN

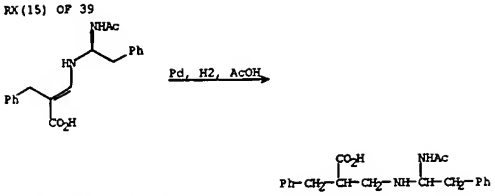
RX(10) OF 11 - 2 STEPS



REF: Doklady Akademii Nauk SSSR, 310(4), 886-9 [Chem.]; 1990

L3 ANSWER 45 OF 68 CASREACT COPYRIGHT 2005 ACS on STN

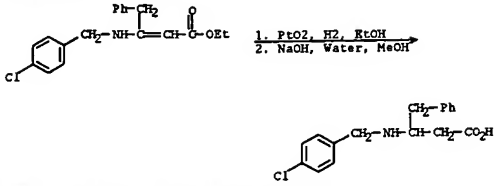
RX(15) OF 39



REF: Tetrahedron Letters, 30(48), 6749-52, 1989

L3 ANSWER 46 OF 68 CASREACT COPYRIGHT 2005 ACS on STN

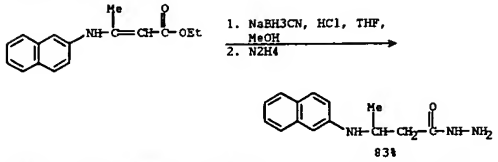
RX(88) OF 95 - 2 STEPS



REF: European Journal of Medicinal Chemistry, 23(6), 523-31, 1988

L3 ANSWER 47 OF 68 CASREACT COPYRIGHT 2005 ACS on STN

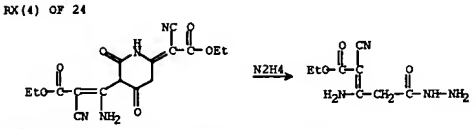
RX(36) OF 46 - 2 STEPS



REF: Journal of Medicinal Chemistry, 32(11), 2421-6, 1989

L3 ANSWER 48 OF 68 CASREACT COPYRIGHT 2005 ACS on STN

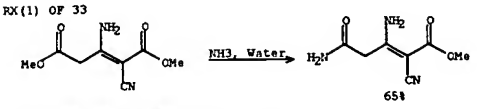
RX(4) OF 24



REF: Heterocycles, 27(10), 2301-4, 1988

L3 ANSWER 49 OF 68 CASREACT COPYRIGHT 2005 ACS on STN

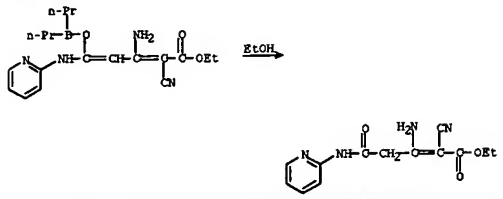
RX(1) OF 33



REF: Monatshefte fuer Chemie, 119(6-7), 717-26; 1988

L3 ANSWER 50 OF 68 CASREACT COPYRIGHT 2005 ACS on STN

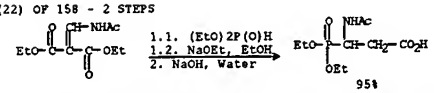
RX(4) OF 9



REF: Izvestiya Akademii Nauk SSSR, Seriya Khimicheskaya, (4), 954-5; 1987

L3 ANSWER 51 OF 68 CASREACT COPYRIGHT 2005 ACS on STN

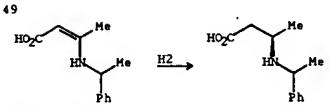
RX(22) OF 158 - 2 STEPS



REF: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999), (1), 61-7; 1988
NOTE: 2) Duolite C 225 column second stage

L3 ANSWER 52 OF 68 CASREACT COPYRIGHT 2005 ACS on STN

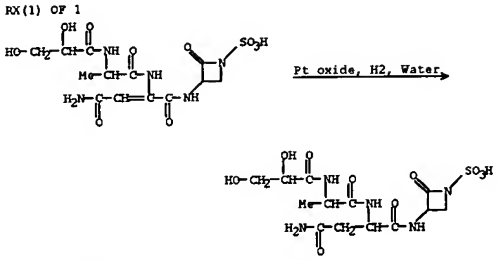
RX(27) OF 49



REF: Tetrahedron Letters, 28(27), 3103-6; 1987

L3 ANSWER 53 OF 68 CASREACT COPYRIGHT 2005 ACS on STN

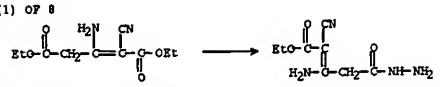
RX(1) OF 1



REF: Journal of Antibiotics, 40(2), 139-44; 1987

L3 ANSWER 54 OF 68 CASREACT COPYRIGHT 2005 ACS on STN

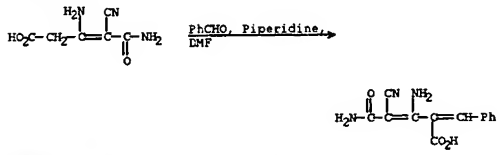
RX(1) OF 8



REF: Journal of Chemical Technology and Biotechnology, 36(9), 410-14; 1986

L3 ANSWER 55 OF 68 CASREACT COPYRIGHT 2005 ACS on STN

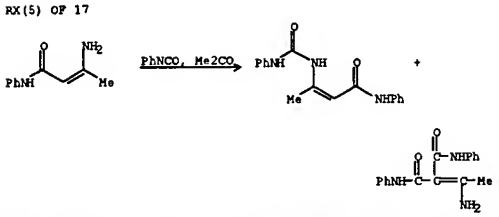
RX(6) OF 22



REF: Synthesis, (12), 1135-7; 1985

L3 ANSWER 56 OF 68 CASREACT COPYRIGHT 2005 ACS on STN

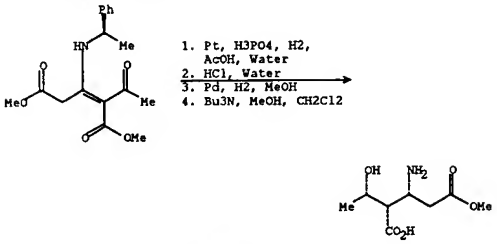
RX(5) OF 17



REF: Bulletin des Societes Chimiques Belges, 94(8), 575-83; 1985

L3 ANSWER 57 OF 68 CASREACT COPYRIGHT 2005 ACS on STN

RX(56) OF 83 - 4 STEPS



REF: Journal of Organic Chemistry, 51(9), 1498-504; 1986

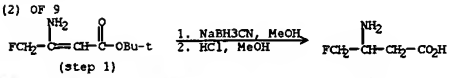
L3 ANSWER 58 OF 68 CASREACT COPYRIGHT 2005 ACS on STN

RX(1) OF 47

REF: Zeitschrift fuer Naturforschung, Teil B: Anorganische Chemie, Organische Chemie, 40B(5), 664-8; 1985

L3 ANSWER 59 OF 68 CASREACT COPYRIGHT 2005 ACS on STN

RX(2) OF 9

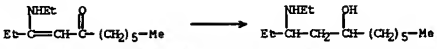


(step 1)

REF: Synthetic Communications, 15(5), 377-83; 1985

L3 ANSWER 60 OF 68 CASREACT COPYRIGHT 2005 ACS on STN

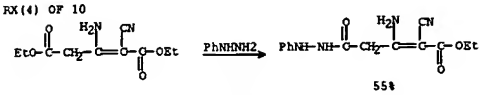
RX(8) OF 11



REF: Journal of the American Chemical Society, 105(20), 6312-14; 1983

L3 ANSWER 61 OF 68 CASREACT COPYRIGHT 2005 ACS on STN

RX(4) OF 10

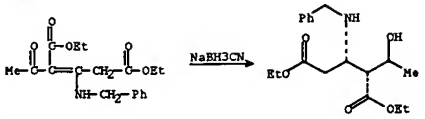


55%

REF: Synthesis, (6), 490-3; 1982

L3 ANSWER 62 OF 68 CASREACT COPYRIGHT 2005 ACS on STN

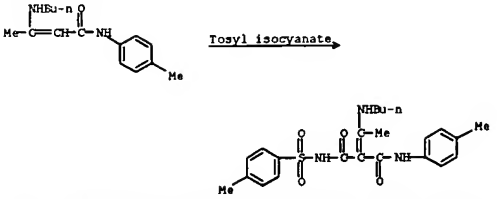
RX(4) OF 15



REF: U.S., 4282148, 04 Aug 1981

L3 ANSWER 63 OF 68 CASREACT COPYRIGHT 2005 ACS on STN

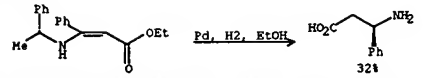
RX(49) OF 128



REF: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry, 17B(5), 478-82; 1979

L3 ANSWER 64 OF 68 CASREACT COPYRIGHT 2005 ACS on STN

RX(1) OF 1

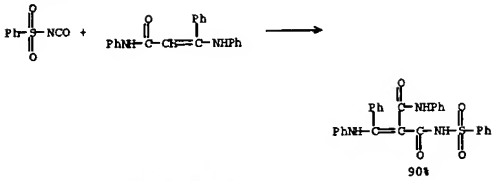


32%

REF: Chemical & Pharmaceutical Bulletin, 27(9), 2223-6; 1979
NOTE: Classification: Hydrogenation; Hydrogenolysis;catalysis; N-Dealkylation; Enantiospecific; # Conditions: H2/ Pd(OH)2-C; EtOH 12h; # Comments: Yield 11-32%; optical purity of product 24%

L3 ANSWER 65 OF 68 CASREACT COPYRIGHT 2005 ACS on STN

RX(2) OF 12

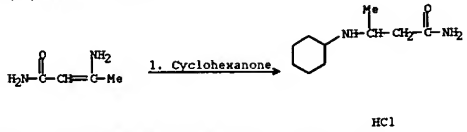


90%

REF: Polish Journal of Chemistry, 52(9), 1683-95; 1978

L3 ANSWER 66 OF 68 CASREACT COPYRIGHT 2005 ACS on STN

RX(10) OF 16 - 2 STEPS

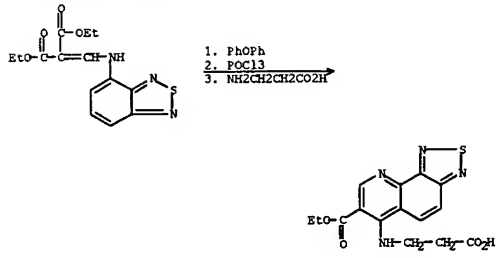


HCl

REF: Monatshefte fuer Chemie, 108(2), 381-6; 1977

L3 ANSWER 67 OF 68 CASREACT COPYRIGHT 2005 ACS on STN

RX(16) OF 18 - 3 STEPS

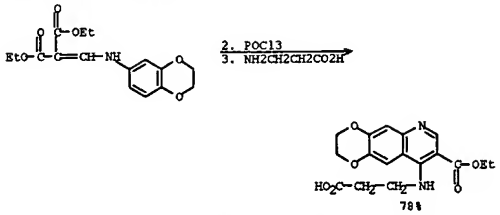


87%

REF: Khimiya Geterotsiklicheskikh Soedinenii, (1), 61-4; 1976

L3 ANSWER 68 OF 68 CASREACT COPYRIGHT 2005 ACS on STN

RX(18) OF 24 - 3 STEPS



78%

REF: Khimiya Geterotsiklicheskikh Soedinenii, (12), 1663-5; 1975

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     (FILE 'HOME' ENTERED AT 14:37:38 ON 12 MAR 2005)

     FILE 'REGISTRY' ENTERED AT 14:37:50 ON 12 MAR 2005

     FILE 'CASREACT' ENTERED AT 14:37:57 ON 12 MAR 2005
L1              STRUCTURE UPLOADED
L2            2 S L1
L3           68 S L1 FULL

=> fil caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   297.55     298.19

FILE 'CAPLUS' ENTERED AT 14:51:44 ON 12 MAR 2005
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FILE LAST UPDATED: 11 Mar 2005  (20050311/ED)

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.


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L4           68 L3

=> d l4 1-68 abs ibib
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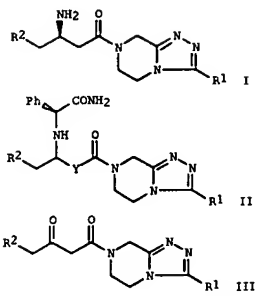
L4 ANSWER 1 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN
GI



AB A process for the asym. synthesis of enantiomerically enriched β-amino acid derivs. I [R1 = H, or alkyl, unsubstituted or substituted with one to five fluorines; R2 = Ph, unsubstituted or independently substituted with one to five substituents: fluorine, trifluoromethyl, or trifluoromethoxy] in a suitable organic solvent is developed, with includes catalytic hydrogenation of Z-enamines II (Y = :CH), which was prepared by addition of L-phenylglycine amide to β-ketoesters III under acidic conditions, and subsequent catalytic hydrogenolysis of II (Y = CH2). Thus, β-ketoester III (R1 = CF3; R2 = 2,4,5-trifluorophenyl) obtained from 2,4,5-trifluorophenylacetic acid and 3-(trifluoromethyl)-5,6,7,8-tetrahydro[1,2,4]triazolo[4,4-α]pyrazine hydrochloride was added to L-phenylglycine amide to give Z-enamine II (R1 = CF3; R2 = 2,4,5-trifluorophenyl), which after catalytic hydrogenation in the presence of platinum dioxide, followed by hydrogenolysis with palladium dihydroxide as catalyst gave compound I (R1 = CF3; R2 = 2,4,5-trifluorophenyl) in 94.55% yield and 97% ee.

ACCESSION NUMBER: 2004:824045 CAPLUS
DOCUMENT NUMBER: 141:332476
TITLE: Process for preparation of chiral β-amino acid derivatives
INVENTOR(S): Dreher, Spencer D.; Ikemoto, Norihiro; Njolito, Eugenia; Rivera, Nelo R.; Tellers, David M.; Xiao, Yi
PATENT ASSIGNEE(S): Merck & Co., Inc, USA
SOURCE: PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004085661	A2	20041007	WO 2004-US8533	20040319
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: US 2003-457128P P 20030324
US 2003-511210P P 20031015
OTHER SOURCE(S): CASREACT 141:332476; MARPAT 141:332476

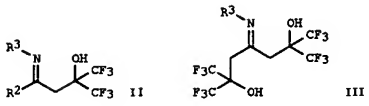
L4 ANSWER 2 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN
AB (R)- or (S)-R1CH(NH2)CH2CO2Z [Z = OR2, SR2, NR2R3; R1 = alkyl, aryl, heteroaryl, aralkyl, heteroaralkyl; R2, R3 = H, alkyl, aryl, aralkyl; R2R3N = (substituted) 4-7 membered ring] were prepd in ≥70% enantiomeric excess by hydrogenation of prochiral R1(H2N)C:CCO2Z (variables as above) in the presence of transition-metal complexed chiral ferrocenyldiphosphines in a suitable organic solvent. Thus, (Z)-4-oxo-4-[3-(trifluoromethyl)-5,6-dihydro-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-1-(2,4,5-trifluorophenyl)but-2-en-2-amine (preparation given) was hydrogenated in the presence of chloro(1,5-cyclooctadiene)rhodium(I) dimer and (R,S) tert-Bu Josiphos in MeOH at 200 psi and 50° for 13 h to give 72% (R)-4-oxo-4-[3-(trifluoromethyl)-5,6-dihydro-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-1-(2,4,5-trifluorophenyl)butan-2-amine in 98-99% enantiomeric excess.

ACCESSION NUMBER: 2004:817850 CAPLUS
DOCUMENT NUMBER: 141:314350
TITLE: Process for the preparation of chiral β-amino acid derivatives by asymmetric hydrogenation of enamino esters and amides using transition-metal complexed chiral ferrocenyldiphosphines.
INVENTOR(S): Xiao, Yi; Armstrong, Joseph D., III; Krska, Shane W.; Njolito, Eugenia; Rivera, Nelo R.; Sun, Yongkui; Rosner, Thorsten
PATENT ASSIGNEE(S): Merck & Co. Inc., USA
SOURCE: PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004085378	A1	20041007	WO 2004-US7793	20040315
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: US 2003-455932P P 20030319
OTHER SOURCE(S): CASREACT 141:314350; MARPAT 141:314350
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN
GI



AB Selected imines, e.g. R1R2C:NR3 (I) [R1 = R2 = Me, Et, Me2CH; R1 = Me, R2 = H, F3C, Ph; R1R2 = (CH2)5, CHMe(CH2)3CHMe; R3 = H, Me2CH, Me3C], reacted with hexafluoroacetone in the absence of a catalyst at ambient temperature to give the corresponding β-hydroxy-β-bis(trifluoromethyl) imines, e.g. II [from I (R1 = Me)] or III [from I (R1 = R2 = Me)], in good to excellent yields. For the imines of acetone, 3-pentanone, or cyclohexanone, a 1:2 reaction was observed giving iminodiols of type III; for N,N'-bis(propylidene)ethylene diamine an iminotetrol was formed. The diol derivative of N-iso-Pr propylideneamine could be deprotonated and O-methylated furnishing the resp. ethers. Hexafluoropropylidene amine reacted with N-iso-Pr propylideneamine, unlike hexafluoroacetone, in a 1:1 manner to form an amino-imino alc. which in its turn is able to add hexafluoroacetone. The imines of acetophenone, 1,1,1-trifluoroacetone, 2,4-dimethyl-3-pentanone, 2,6-dimethylcyclohexanone and of acetaldehyde added hexafluoroacetone to furnish β-iminoalcs of type II. A multifunctional β-hydroxy enaminone was obtained from 4-isopropylamino-pent-3-en-2-one. The mol. structures of the novel β-hydroxy-β-bis(trifluoromethyl) imines exhibit strong :(R)N···H-O- hydrogen bonds.

ACCESSION NUMBER: 2004:548944 CAPLUS
DOCUMENT NUMBER: 142:134176
TITLE: Novel β-hydroxy-β-bis(trifluoromethyl) imines
AUTHOR(S): Barten, Jan Alexander; Lork, Enno; Roschenthaler, Gerd-Volker
CORPORATE SOURCE: Hansa Fine Chemicals GmbH, Bremen, D-28334, Germany
SOURCE: Journal of Fluorine Chemistry (2004), 125(6), 1039-1049
CODEN: JFLCAR; ISSN: 0022-1139
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:134176
REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

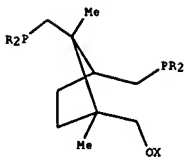
L4 ANSWER 4 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN

AB A very simple pathway for the preparation of amphiphilic analogs of natural bioactive peptidoamines such as carnosine (β-alanylhistidine) or carcinine (β-alanylhistamine) is presented. The strategy makes it possible to synthesize original bialkyl chain or trialkyl chain perfluorinated surfactants with or without perhydrogenated chains.

ACCESSION NUMBER: 2004:40107 CAPLUS
DOCUMENT NUMBER: 141:7419
TITLE: Efficient synthesis of new perfluorinated or hybrid amphiphilic surfactants
AUTHOR(S): Cosgun, Sedat; Gerardin-Charbonnier, Christine; Amos, Jacques; Selve, Claude
CORPORATE SOURCE: Laboratoire de Chimie-Physique Organique et Colloidale (LCPOC), UMR 7565, Faculte des Sciences et Techniques, Universite Henri Poincare Nancy I, Vandoeuvre-les-Nancy, 54506, Fr.
SOURCE: Journal of Fluorine Chemistry (2004), 125(1), 55-61
CODEN: JFLCAR; ISSN: 0022-1139
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 141:7419
REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN

GI



I

AB The invention relates to preparation of novel asym., chiral hydroxy diphosphines I (R = H, C1-24 alkyl, C3-8 cycloalkyl, C6-14 aryl, Ph, naphthyl, fluorenyl, N, O, S containing C2-13 heteroaryl, C2-20 alkenyl, C1-10 haloalkyl, trihalomethylalkyl, halo, OH, organoamino, etc.; X = H, C1-10 alkyl, C6-aryl, carbonylorgano, etc.), and their use as catalysts, in particular for enantioselective syntheses, is described. Thus, preparation of [(1R,2R,3S)-1,2-dimethyl-2,3-bis(diphenylphosphinomethyl)cyclopentyl]methanol (II) is described starting from (+)-9-bromocamphor in 5 steps. The asym. hydrogenation catalyst was prepared by reaction of II with [Rh(COD)acac] which was used for enantioselective hydrogenation of PhCH:C(NHAc)(CO2Me).

ACCESSION NUMBER: 2003:951037 CAPLUS
DOCUMENT NUMBER: 139:396061
TITLE: Preparation of hydroxy diphosphines and their use in catalysis
INVENTOR(S): Komarov, Igor; Boerner, Armin; Monsees, Axel; Kadyrov, Renat
PATENT ASSIGNEE(S): Degussa A.-G., Germany
SOURCE: PCT Int. Appl., 22 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003099832	A1	20031204	WO 2003-EP5286	20030520

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

L4 ANSWER 5 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

DE 10223593	A1	20031211	DE 2002-10223593	20020527
EP 1507783	A1	20050223	EP 2003-732426	20030520

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

PRIORITY APPLN. INFO.: DE 2002-10223593 A 20020527
WO 2003-EP5286 W 20030520
OTHER SOURCE(S): CASREACT 139:396061; MARPAT 139:396061
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN

AB Chemoselectivity in the reactions between Et 4,4,4-trifluoroacetoacetate (Et 4,4,4-trifluoro-3-oxobutanoate) and various anilines was systematically studied as a function of the reaction conditions used (solvent/temperature, catalyst). The results obtained allowed chemoselective (>90%) synthesis of the corresponding Et 3-arylamino-4,4,4-trifluoro-2-butenoates and N-aryl-4,4,4-trifluoro-3-oxobutyramides, which were cyclized to afford 2-trifluoromethyl-4-quinolinones and 4-trifluoromethyl-2-quinolinones, resp. Treatment of 4,4,4-trifluoro-3-oxobutanoic acid Et ester with benzenamine in the presence of triethylamine gave a mixture of 4,4,4-trifluoro-3-hydroxy-N-phenyl-2-butenamide (enol of keto amide) and 4,4,4-trifluoro-3,3-dihydroxy-N-phenylbutanamide. Further Knorr-Conrad-Limpach cyclization gave 4-(trifluoromethyl)-2(1H)-quinolinone.

ACCESSION NUMBER: 2003:790962 CAPLUS
DOCUMENT NUMBER: 140:93901
TITLE: Chemoselectivity in the reactions between ethyl 4,4,4-trifluoro-3-oxobutanoate and anilines: Improved synthesis of 2-trifluoromethyl-4- and 4-trifluoromethyl-2-quinolinones
AUTHOR(S): Berbasov, Dmitrii O.; Soloshonok, Vadim A.
CORPORATE SOURCE: Department of Chemistry and Biochemistry, University of Oklahoma, Norman, OK, 73019, USA
SOURCE: Synthesis (2003), (13), 2005-2010
CODEN: SYNTBF; ISSN: 0039-7881
PUBLISHER: Georg Thieme Verlag
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 140:93901
REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN
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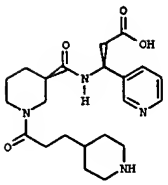

I

AB Elarofiban I is a novel, nonpeptide, orally active fibrinogen receptor antagonist useful for the treatment of platelet mediated thrombotic disorders. Herein the process research that was carried out for the synthesis of elarofiban and eventually led to the development of a safe and cost-effective com. scale process is described.

ACCESSION NUMBER: 2003:767338 CAPLUS
DOCUMENT NUMBER: 140:4938
TITLE: A Practical Synthesis of the Platelet Fibrinogen Antagonist, Elarofiban
AUTHOR(S): Cohen, Judith H.; Bos, Mary Ellen; Cesco-Cancian, Sergio; Harris, Bruce D.; Hortenstine, John T.; Justus, Michael; Maryanoff, Cynthia A.; Mills, John; Muller, Stefan; Roessler, Armin; Scott, Lorraine; Sorgi, Kirk L.; Villani, Frank J., Jr.; Webster, Robin R. H.; Weh, Christian
CORPORATE SOURCE: Drug Evaluation, Chemical & Pharmaceutical Development Department, Johnson & Johnson Pharmaceutical Research Development LLC, Spring House, PA, 19477, USA
SOURCE: Organic Process Research & Development (2003), 7(6), 866-872
CODEN: OPRDFK; ISSN: 1083-6160
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 140:4938
REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN
AB β-Keto esters and acetyl acetone on condensation with glycosylated amino esters in the presence of IR-120 resin resulted in high yields of glycosyl enamino esters or ketones. The latter on cyclization with NaH in toluene at reflux gave 6-glycosyl-5,6-dihydro-1H-pyridin-4-ones in fair to good yields.

ACCESSION NUMBER: 2003:597626 CAPLUS
DOCUMENT NUMBER: 139:323722
TITLE: Amberlite IR-120 catalyzed efficient synthesis of glycosyl enamines and their application
AUTHOR(S): Tewari, Neetu; Katiyar, Diksha; Tiwari, Vinod K.; Tripathi, Rama P.
CORPORATE SOURCE: Division of Medicinal Chemistry, Central Drug Research Institute, Lucknow, 226001, India
SOURCE: Tetrahedron Letters (2003), 44(35), 6639-6642
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:323722
REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN
AB A series of β-aromatic amino substituted triazolyl ketenes were reduced at different conditions to enols and saturated alcs., resp. The preliminary biol. tests showed that some of them exhibit good fungicidal activities.

ACCESSION NUMBER: 2003:526681 CAPLUS
DOCUMENT NUMBER: 139:337920
TITLE: Study on reduction of β-aromatic amino substituted triazolyl ketene compounds
AUTHOR(S): Li, Yang Zhou; Cheng, Jun Ran; Wang, Qing Min; Guo, Xiang Yun; Huang, Run Qiu
CORPORATE SOURCE: Institute and State Key Laboratory of Elemento-organic Chemistry, Nankai University, Tianjin, 300071, Peop. Rep. China
SOURCE: Chinese Chemical Letters (2003), 14(5), 471-474
CODEN: CCLEE7; ISSN: 1001-8417
PUBLISHER: Chinese Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:337920
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN
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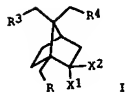

I

AB The synthesis of two series of diastereomeric oxo- and hydroxy-substituted diphosphines, as well as an analogous non-functionalized diphosphine was performed starting from (R)-camphor. Isomeric dibromocamphors 6a,b (shown as I, X1+X2 = O, R = Br; a R3 = Br, R4 = H, b R3 = H, R4 = Br) were converted to corresponding diiodo-derivs. 10a,b, which were protected in the form of ethylene glycol acetal and reacted with LiPPh2 to give diphosphines 9a,b (I, X1-X2 = OCH2CH2O, R = PPh2; a R3 = PPh2, b R4 = PPh2; either R4 or R3 = H). The diphosphines 9a,b were deprotected, affording oxo-functionalized diphosphines 7a,b (I, X1+X2 = O; R, R3, R4 as above); 7b was reduced to corresponding hydroxy-derivative 8b (I, X1 = H, X2 = OH; R = R4 = PPh2). The isomeric 8a was prepared by reduction of 10a followed by silyl protection of the OH-group and phosphinylation. The equatorial position of the hydroxy group in 8a,b was confirmed by 1H NOE NMR expts. Non-functionalized ligand 17 (I, R = R3 = PPh2, X1 or X2 = Me) was prepared as 1:3 endo/exo mixture by methylenation of 6a by Zn/CH2Br2/TiCl4 reagent, followed by hydrogenation and phosphinylation. The new diphosphines were used as ligands in the enantioselective rhodium(I)-catalyzed hydrogenation of functionalized olefins - α- and β-dehydroamino acids and their esters - in order to elucidate the effect of the oxo- and oxy-functional groups. Crystal structures of {Rh(7a)(COD)}BF4 and {Rh(7b)(COD)}BF4 are reported. The enantioselectivities, ranging from 2-90% ee, and the rates were strongly dependent on the type and relative position of the oxo or oxy substituent in the catalyst. Possible explanations for the effects are given.

ACCESSION NUMBER: 2003:18737 CAPLUS
DOCUMENT NUMBER: 138:385503
TITLE: Chiral oxo- and oxy-functionalized diphosphane ligands derived from camphor for rhodium(I)-catalyzed enantioselective hydrogenation
AUTHOR(S): Komarov, Igor V.; Monsees, Axel; Spannenberg, Anke; Baumann, Wolfgang; Schmidt, Ute; Fischer, Christine; Borner, Armin
CORPORATE SOURCE: Institut fur Organische Katalyseforschung, Rostock, 18055, Germany
SOURCE: European Journal of Organic Chemistry (2003), (1), 138-150
CODEN: EJOCFK; ISSN: 1434-193X
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:385503
REFERENCE COUNT: 62 THERE ARE 62 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN

AB Concise and efficient methods for the preparation of 3-substituted 4-ethoxycarbonylpyrazolin-5-ones are described. The synthetic strategies involve carbon-acylation in the presence of base, followed by ring cyclization with hydrazine or hydrazine monohydrochloride.

ACCESSION NUMBER: 2003:4468 CAPLUS
DOCUMENT NUMBER: 138:353880
TITLE: Efficient synthesis of 4-ethoxycarbonyl pyrazolin-5-one derivatives
AUTHOR(S): Jung, Jae C.; Watkins, E. Blake; Avery, Mitchell A.
CORPORATE SOURCE: Department of Medicinal Chemistry, School of Pharmacy, University of Mississippi, University, MS, 38677-1848, USA
SOURCE: Synthetic Communications (2002), 32(24), 3767-3777
CODEN: SYNCAV; ISSN: 0039-7911
PUBLISHER: Marcel Dekker, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:353880
REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN

AB Heterodiene [4π+2π] cycloaddns. of (S,S)-4,5-diaryl-2-methylene-1,3-dioxolanes to a series of β-amido-α,β-unsatd. carbonyl compds. are diastereoselective (d.r. .gtorsim.4:1). The products can be purified by trituration or crystallization and hydrolyzed with acid to generate the corresponding β-amido carbonyl compds., the overall sequence effecting an auxiliary-based enantioselective conjugate addition of an acetate enolate, leading to β-amino acid derivs.

ACCESSION NUMBER: 2002:972634 CAPLUS
DOCUMENT NUMBER: 138:401224
TITLE: Stereoselective routes to substituted β-amino carbonyl compounds via heterodiene [4π+2π] cycloadditions of auxiliary-based C2 symmetric ketene acetals
AUTHOR(S): Leeming, Peter; Ray, Colin A.; Simpson, Stephen J.; Wallace, Timothy W.; Ward, Richard A.
CORPORATE SOURCE: Department of Chemistry, University of Salford, Salford, M5 4WT, UK
SOURCE: Tetrahedron (2003), 59(3), 341-352
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:401224
REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN

AB A convenient selective protection of the α-amino carboxyl group of amino acids bearing reactive side chain groups such as arginine, asparagine, glutamine, cysteine, histidine, serine and lysine, using 4-alkoxy-1,1,1-trifluoro[chloro]alk-3-en-2-ones is reported. The reactions were performed without esterification of the carboxyl group and N-deprotection was carried out using a six molar solution of hydrochloric acid.

ACCESSION NUMBER: 2002:903423 CAPLUS
DOCUMENT NUMBER: 138:255476
TITLE: Application of 4-alkoxy-1,1,1-trifluoro[chloro]alk-3-en-2-ones as selective protecting groups of amino acids
AUTHOR(S): Zanatta, Nilo; Squizani, Adriana M. C.; Fantinel, Leonardo; Nachtigall, Fabiane M.; Bonacorso, Helio G.; Martins, Marcos A. P.
CORPORATE SOURCE: Nucleo de Quimica de Heterociclos (NUQUIMHE), Departamento de Quimica, Universidade Federal de Santa Maria, Santa Maria, 105-900, Brazil
SOURCE: Synthesis (2002), (16), 2409-2415
CODEN: SYNTBF; ISSN: 0039-7881
PUBLISHER: Georg Thieme Verlag
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:255476
REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 14 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN

AB A review. A synthetic procedure to obtain syn 1,3-aminoalcs., that is particularly easy to perform, from easy available and functional starting materials is described. The one-pot reduction of enaminones to syn γ-aminoalcs. can be efficiently performed by lithium borohydride in the presence of cerium chloride as Lewis acid. Selectivities are very good with respect to classical reduction method of these products.

ACCESSION NUMBER: 2002:819410 CAPLUS
DOCUMENT NUMBER: 138:221053
TITLE: Stereoselective reduction of enaminones to syn γ-aminoalcohols
AUTHOR(S): Bartoli, Giuseppe; Cupone, Giovanna; Dalpozzo, Renato; De Nino, Antonio; Maiuolo, Loredana; Procopio, Antonio; Tagarelli, Antonio
CORPORATE SOURCE: Dipartimento di Chimica Organica "A. Mangini", Universita di Bologna, Bologna, I-40136, Italy
SOURCE: Tetrahedron Letters (2002), 43(41), 7441-7444
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:221053
REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN
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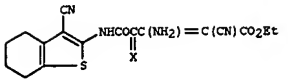

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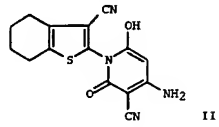

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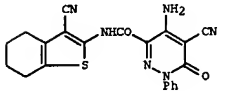

III

AB 2-Amino-3-cyano-4,5,6,7-tetrahydrobenzo[b]thiophene with Et β-amino-α-cyano-γ-(ethoxycarbonyl)crotonate yields the corresponding amide derivative (I, X = H2). That compound reacts with benzenediazonium chloride to give the phenylhydrazone derivative (I, X = NNHPh). These compds. were cyclized to give a pyridine derivative (II) and a pyridazine derivative (III). Reactions of II gave fused heterocyclic compds. with antibacterial activity.

ACCESSION NUMBER: 2002:769320 CAPLUS
DOCUMENT NUMBER: 138:338002
TITLE: Reaction of 3-Cyano-2-amino-4,5,6,7-tetrahydrobenzo[b]thiophene with Enamino nitriles
AUTHOR(S): Mohareb, Rafat M.; Al-Omran, Fatma A.; Ho, Jonathan Z.
CORPORATE SOURCE: Department of Chemistry, University of California, Berkeley, CA, 94720, USA
SOURCE: Monatshefte fuer Chemie (2002), 133(11), 1443-1452
CODEN: MOCMB7; ISSN: 0026-9247
PUBLISHER: Springer-Verlag Wien
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:338002
REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 16 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN
AB A new diphosphine ligand bearing a hydroxy group in the backbone was synthesized starting from 9-bromocamphor. The rhodium(I) complex based on this ligand was tested in the hydrogenation of α- and β-amino acid precursors. The activity and selectivity of the catalyst were found to be strongly dependent upon the nature of the substrate. Thus, β-acetylamino carboxylates were obtained with up to 97% ee.

ACCESSION NUMBER: 2002:769196 CAPLUS
DOCUMENT NUMBER: 138:170488
TITLE: A new hydroxydiphosphine as a ligand for Rh(I)-catalyzed enantioselective hydrogenation
AUTHOR(S): Komarov, Igor V.; Monsees, Axel; Kadyrov, Renat; Fischer, Christine; Schmidt, Ute; Borner, Armin
CORPORATE SOURCE: Institut fur Organische Katalyseforschung an der Universitat Rostock e.V., Rostock, D-18055, Germany
SOURCE: Tetrahedron: Asymmetry (2002), 13(15), 1615-1620
CODEN: TASYE3; ISSN: 0957-4166
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:170488
REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 17 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN
AB The HIV protease inhibitor Lopinavir has a pseudosym. core unit incorporating benzyl groups at both P-1, P-1' positions. A series of analogs incorporating non-aromatic side chains at the P-1 position were synthesized and the structure-activity relationships explored.

ACCESSION NUMBER: 2002:767302 CAPLUS
DOCUMENT NUMBER: 138:170506
TITLE: Novel lopinavir analogs incorporating non-aromatic P-1 side chains - synthesis and structure-activity relationships
AUTHOR(S): Sham, Hing L.; Zhao, Chen; Li, Leping; Betebenner, David A.; Saldivar, Ayda; Vasavanonda, Sudthida; Kempf, Dale J.; Plattner, Jacob J.; Norbeck, Daniel W.
CORPORATE SOURCE: Pharmaceutical Discovery, Abbott Laboratories, Abbott Park, IL, 60064-6101, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2002), 12(21), 3101-3103
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:170506
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 18 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN
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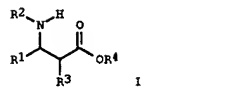

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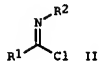

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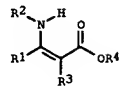

III

AB Racemic and chiral nonracemic β-fluoroalkyl β-amino acids and esters I [R1 = F3C, F2CCl, F3CCF2, C8F17; R2 = 4-MeOC6H4, cyclohexyl, (S)-PhCHMe, (S)-1-cyclohexylethyl; R3 = H, Me, Et; R4 = H, Me, (-)-menthyl, etc.] were synthesized in two steps starting from fluorinated imidoyl chlorides II and ester enolates. This approach was based on the chemical reduction of previously obtained γ-fluorinated β-enamino esters III using ZnI2/NaBH4 in a nonchelated aprotic medium (dry CH2Cl2) as the reducing agent. A metal-chelated six-membered model was suggested to explain the stereochem. outcome of the reduction reaction. The transformations occurred in high yields and with moderate to good diastereoselectivities. The best results related to diastereoselective reduction of chiral β-enamino esters III were provided by the use of (-)-8-phenylmenthol as a chiral auxiliary.

ACCESSION NUMBER: 2002:398794 CAPLUS
DOCUMENT NUMBER: 137:140260
TITLE: New Strategy for the Stereoselective Synthesis of Fluorinated β-Amino Acids
AUTHOR(S): Fustero, Santos; Pina, Belen; Salavert, Esther; Navarro, Antonio; Ramirez de Arellano, M. Carmen; Simon Fuentes, Antonio
CORPORATE SOURCE: Departamento de Quimica Organica, Facultad de Farmacia, Universidad de Valencia, Burjassot, 46100, Spain
SOURCE: Journal of Organic Chemistry (2002), 67(14), 4667-4679
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:140260
REFERENCE COUNT: 62 THERE ARE 62 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 19 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN
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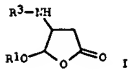

I

AB (optically active) alkoxyaminofuranones I [R1 = (un)substituted alkyl; R3 = (un)substituted alkyl, aryl, alkylamino, arylamino], useful as intermediates for antirheumatic agents, are prepared by reduction of (R1O)2CHC(NHR3):CHCO2R2 (R1, R3 = same as above; R2 = similar group as in R1) and cyclization of the resulting (R1O)2CHCH(NHR3)CH2CO2R2 (R1-R3 = same as above). Thus, hydrogenation of Et (3S)-4,4-diethoxy-3-[(1R)-1-phenylethyl]amino-2-butenoate by catechol-borane in THF at 0° for 1 h gave 92% Et 4,4-diethoxy-3-[(1R)-1-phenylethyl]aminobutanoate (3S:3R = 74:26). The (3S)-isomer was hydrolyzed with aqueous LiOH in THF/EtOH/H2O and
treated with F3CCO2H at 50° for 8 h to give 5-ethoxy-4-[(1R)-1-phenylethyl]aminodihydrofuran-2-one [(4S,5R):(4S,5S) = 92:8].

ACCESSION NUMBER: 2002:207532 CAPLUS
DOCUMENT NUMBER: 136:232194
TITLE: Preparation of alkoxyaminofuranones as intermediates for interleukin-1β converting enzyme inhibitors
INVENTOR(S): Takuma, Yuki; Katsurada, Manabu; Kasuga, Yuzo; Watanabe, Naoyuki; Murakami, Takeshi; Sudo, Tomoko; Matsumoto, Yoichi
PATENT ASSIGNEE(S): Mitsubishi Chemical Corp., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 12 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002080472	A2	20020319	JP 2000-347835	20001115
PRIORITY APPLN. INFO.:			JP 2000-205963 A	20000707

OTHER SOURCE(S): CASREACT 136:232194; MARPAT 136:232194

L4 ANSWER 20 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN
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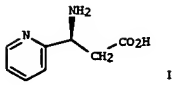

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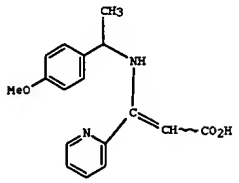

II

AB An efficient stereoselective synthesis of esters of β-aryl-β-amino acids [e.g., (I)] via reduction of enantiomerically enriched esters of N-(p-methoxy-α-methylbenzyl)enamines [e.g.,(II)] by catalytic hydrogenation followed by debenzylation is described. Conformational anal. and crystal structure study of 3- and 4-pyridyl II revealed the influence of hydrogen bonding on product yields, and their dependence on the nature of acid catalysts on the hydrogenation reaction.

ACCESSION NUMBER: 2002:149682 CAPLUS
DOCUMENT NUMBER: 137:140741
TITLE: Stereoselective synthesis of β-aryl-β-amino esters
AUTHOR(S): Cohen, Judith H.; Abdel-Magid, Ahmed F.; Almond, Harold R., Jr.; Maryanoff, Cynthia A.
CORPORATE SOURCE: Drug Evaluation, Johnson & Johnson Pharmaceutical Research & Development, Spring House, PA, 19477, USA
SOURCE: Tetrahedron Letters (2002), 43(11), 1977-1981
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:140741
REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 21 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN
AB The reduction of α- and β-amino ketones and enamino ketones of the adamantane series with sodium borohydride in methanol at room temperature gives
the corresponding adamantylaminoalkanols.

ACCESSION NUMBER: 2002:70648 CAPLUS
DOCUMENT NUMBER: 136:340421
TITLE: Synthesis of amino alcohols of the adamantane series
AUTHOR(S): Makarova, N. V.; Moiseev, I. K.; Zemtsova, M. N.
CORPORATE SOURCE: Samara State Technical University, Samara, Russia
SOURCE: Russian Journal of General Chemistry (Translation of Zhurnal Obshchei Khimii) (2001), 71(7), 1126-1129
CODEN: RJGCEK; ISSN: 1070-3632
PUBLISHER: MAIK Nauka/Interperiodica Publishing
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:340421
REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 22 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN
AB An improved method for the preparation of both enantiopure β-amino acids is presented. The diastereomer benzyl β-amino esters, obtained by stereoselective reduction of β-enamino esters, were separated and hydrogenolyzed to the free enantiopure β-amino acids.

ACCESSION NUMBER: 2001:711299 CAPLUS
DOCUMENT NUMBER: 136:69589
TITLE: An improved synthesis of enantiopure β-amino acids
AUTHOR(S): Cimarelli, Cristina; Palmieri, Gianni; Volpini, Emanuela
CORPORATE SOURCE: Dipartimento di Scienze Chimiche, Universita di Camerino, Camerino, I-62032, Italy
SOURCE: Synthetic Communications (2001), 31(19), 2943-2953
CODEN: SYNCAV; ISSN: 0039-7911
PUBLISHER: Marcel Dekker, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:69589
REFERENCE COUNT: 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 23 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN

AB A general procedure for the preparation of β-amino esters has been developed. Thus, (MeO2C)2C:CHNHCOCF3 was reacted with Grignard reagents in the presence of a phenyloxazole compound to give (MeO2C)2CHCHRNHCOCF3 [I, R = Et, Me2CH, n-Bu, (CH2)17Me, cyclohexyl, vinyl, Ph] with good ee. The absolute stereochem. of I (R = Et) was determined to be R by converting it to a known compound Using a fused oxazole ligand resulted in compds. having the opposite configuration.

ACCESSION NUMBER: 2001:653066 CAPLUS
DOCUMENT NUMBER: 135:344205
TITLE: Enantioselective conjugate addition of organomagnesium amides to enamidomalonates: synthesis of either enantiomer of β-amino acid derivatives
AUTHOR(S): Sibi, Mukund P.; Asano, Yasutomi
CORPORATE SOURCE: Department of Chemistry, North Dakota State University, Fargo, ND, 58105-5516, USA
SOURCE: Journal of the American Chemical Society (2001), 123(39), 9708-9709
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:344205
REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 24 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN

AB The [2+2] cycloaddn. reactions of 1-benzyl-2,4-diphenyl-1,3-diaza-1,3-butadiene [i.e., N'-(phenylmethyl)-N-(phenylmethylene)benzenecarboximidamide] with β-(dimethylphenylsilyl)ketene, β-menthoxyketene and Evans-Sjogren ketene were investigated. The results and some chemical transformations of the obtained cycloadducts are reported.

ACCESSION NUMBER: 2001:574517 CAPLUS
DOCUMENT NUMBER: 135:344327
TITLE: [2+2] Cycloaddition reactions of 1-benzyl-2,4-diphenyl-1,3-diazabuta-1,3-diene with chiral ketenes
AUTHOR(S): Abbiati, G.; Rossi, E.
CORPORATE SOURCE: Istituto di Chimica Organica della Facolta di Farmacia, Universita di Milano, Milan, I-20133, Italy
SOURCE: Tetrahedron (2001), 57(33), 7205-7212
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:344327
REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 25 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN

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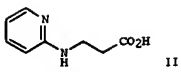

II

AB 3-Guanidinopropionic acid (I, PNU-10483) has been demonstrated to both improve insulin sensitivity and to promote weight loss selectively from adipose tissue in animal models of non-insulin-dependent diabetes mellitus (NIDDM). However, I has also been shown to be a substrate for both the creatine transporter and creatine kinase, leading to marked accumulation in muscle tissue as the corresponding N-phosphate H2NC(:NPO3H)NHCH2CH2CO2H. In an effort to identify novel entities that maintain antidiabetic potency without susceptibility to creatine-like metabolism, an analog program was undertaken to explore the effects of various structural modifications, including homologation, simple substitution, single atom mutations, and bioisosteric replacements for the guanidine and carboxylic acid. Overall, the scope of activity encompassed by the set of new analogs proved to be exceedingly narrow. Notable exceptions demonstrating equivalent or improved antidiabetic activity included the α-amino derivative (R)-H2NC(:NH)NHCH2CH(NH2)CO2H, aminopyridine II, isothiourea H2NC(:NH)SCH2CH2CO2H, and aminoguanidine H2NC(:NH)NHNHCO2H (III). On the basis of its superior therapeutic ratio, aminoguanidine III was selected for preclin. development and became the foundation for a second phase of analog work. Furthermore, in vitro studies demonstrated that III is markedly less susceptible to phosphorylation by creatine kinase than the lead I, suggesting that it should have less potential for accumulation in muscle tissue than I. The crystal structure of III was determined by x-ray anal.

ACCESSION NUMBER: 2001:168975 CAPLUS
DOCUMENT NUMBER: 134:353113
TITLE: Synthesis and biological activity of analogs of the antidiabetic/anticbesity agent 3-guanidinopropionic acid: discovery of a novel aminoguanidinoacetic acid antidiabetic agent
AUTHOR(S): Larsen, Scott D.; Connell, Mark A.; Cudahy, Michele M.; Evans, Bruce R.; May, Paul D.; Meglasson, Martin D.; O'Sullivan, Theresa J.; Schostarez, Heinrich J.; Sih, John C.; Stevens, F. Craig; Tanis, Steven P.; Tegley, Christopher M.; Tucker, John A.; Veillancourt, Valerie A.; Vidmar, Thomas J.; Watt, William; Yu, Jen H.
CORPORATE SOURCE: Departments of Medicinal Chemistry Pharmacology Structural Analytical and Medicinal Chemistry and Research Biostatistics, Pharmacia Corporation, Kalamazoo, MI, 49007, USA
SOURCE: Journal of Medicinal Chemistry (2001), 44(8), 1217-1230
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:353113

L4 ANSWER 25 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

REFERENCE COUNT: 59 THERE ARE 59 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 26 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Reaction of trifluoromethyldihydropyrone I with ethylenediamine yielded trifluoromethyldiazepine II in 40% yield. I also reacted with hydrazine and hydroxylamine hydrochloride to give trifluoromethylpyrazole III in 65-74% yield and trifluoromethylisoxazoline IV (X = O) in 52-62% yield, resp. II and III were also obtained from (Z)-aminotrifluorohydroxymethylheptenone Me2C(OH)CH2COCH:C(NH2)CF3 (V) and hydroxydimethyltrifluoromethyltetrahydropyrone VI.

ACCESSION NUMBER: 1999:514226 CAPLUS
DOCUMENT NUMBER: **131:271862**
TITLE: Reactions of regioisomeric 3,3-dimethyl- and 2,2-dimethyl-6-trifluoromethyl-2,3-dihydro-4-pyrones with N-nucleophiles
AUTHOR(S): Sosnovskikh, V. Ya.; Mel'nikov, M. Yu.
CORPORATE SOURCE: A. M. Gorky Ural State University, Yekaterinburg, 620083, Russia
SOURCE: Russian Chemical Bulletin (Translation of Izvestiya Akademii Nauk, Seriya Khimicheskaya) (1999), 48(5), 975-978
CODEN: RCBUEY; ISSN: 1066-5285
PUBLISHER: Consultants Bureau
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 131:271862
REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 27 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN
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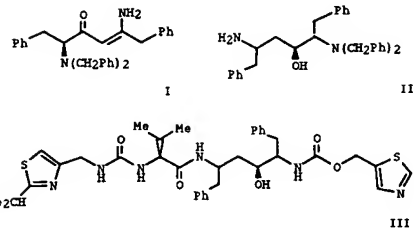

I II

III

AB The reduction of (5S)-2-amino-5-dibenzylamino-4-oxo-1,6-diphenylhex-2-ene (I) was optimized for diastereoselectivity and overall conversion to (2S,3S,5S)-5-amino-2-dibenzylamino-3-hydroxy-1,6-diphenylhexane (II). A two-step reduction sequence is described wherein the enamine is reduced with a borane-sulfonate derivative followed by reduction of the resulting ketone with sodium borohydride. The desired II was obtained with 84% diastereoselectivity and an acyclic 1,4 stereoinduction ratio of 14:1. This methodol. has been used to produce multikilogram quantities of the diamino alc. core of Ritonavir (III) and should be general to the synthesis of related diamino hydroxyethylene isosteres.

ACCESSION NUMBER: 1999:17744 CAPLUS
DOCUMENT NUMBER: **130:209538**
TITLE: Reduction of an Enaminone: Synthesis of the Diamino Alcohol Core of Ritonavir
AUTHOR(S): Haight, Anthony R.; Stuk, Timothy L.; Allen, Michael S.; Bhagavatula, Lakshmi; Fitzgerald, Michael; Hannick, Steven M.; Kerdesky, Francis A. J.; Menzia, Jerome A.; Parekh, Shyamal I.; Robbins, Timothy A.; Scarpetti, David; Tien, Jien-Heh J.
CORPORATE SOURCE: Chemical Process Research D54P and Process Research, Abbott Laboratories, North Chicago, IL, 60064, USA
SOURCE: Organic Process Research & Development (1999), 3(2), 94-100
CODEN: OPRDFK; ISSN: 1083-6160
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 130:209538
REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 28 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN
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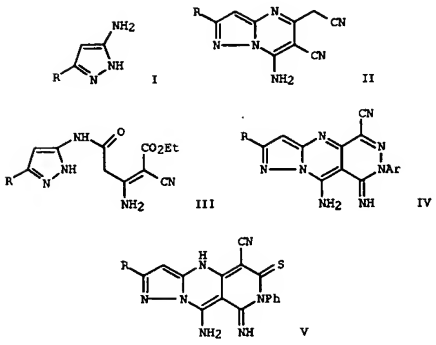

I II III IV V

AB 3-Substituted 5-aminopyrazole I (R = antipyrinyl, X = H) reacted differently with the enamines to give the pyrazolopyrimidine II and pyrazole derivative III. II reacted with arenediazonium chloride and Ph isothiocyanate to give pyrazolopyrimidinopyridazineIV and pyrazolopyrimidinopyridinethione V, resp. Other derivs. were also prepared

ACCESSION NUMBER: 1998:291449 CAPLUS
DOCUMENT NUMBER: **129:81707**
TITLE: Heterocyclic amidines: synthesis of new azaindene derivatives
AUTHOR(S): Abdel-Aziz El-Taweel, Fathy Mohamed
CORPORATE SOURCE: Department of Chemistry, Faculty of Science, New Damietta, Egypt
SOURCE: Alexandria Journal of Pharmaceutical Sciences (1998), 12(1), 11-15
CODEN: AJPSES; ISSN: 1110-1792
PUBLISHER: University of Alexandria, Faculty of Pharmacy
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 129:81707
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 29 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN
AB Syn-γ-aminoalcs. [e.g., Me3CC(OH)HCH2CH(NHCH2Ph)Me] are prepared in high yield and selectivity by dissolving β-enaminoketones [e.g., cis-Me3CCOCH:C(NHCH2Ph)Me] in AcOH, cooling the solution, slowly adding aqueous NaBH4 solution, adding cold aqueous NaOH solution, and obtaining the product by phase separation, drying with sulfate salts, evaporating the solvents, and purifying the γ-aminoalc. product as necessary.

ACCESSION NUMBER: 1998:253285 CAPLUS
DOCUMENT NUMBER: **128:243740**
TITLE: Stereoselective preparation of γ-aminoalcohols by the sodium borohydride reduction of β-enaminoketones
INVENTOR(S): Braga, Antonio Claudio Herrera; Harris, Matia Ines Nagueria de Camargo
PATENT ASSIGNEE(S): Universidade Estadual De Campinas - Unicamp, Brazil
SOURCE: Braz. Pedido PI, 10 pp.
CODEN: BPXXDX
DOCUMENT TYPE: Patent
LANGUAGE: Portuguese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
BR 9502467	A	19970826	BR 1995-2467	19950804
PRIORITY APPLN. INFO.:			BR 1995-2467	19950804

OTHER SOURCE(S): CASREACT 128:243740

L4 ANSWER 30 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN
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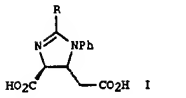

I

AB In an effort to mimic the anthelmintic and insecticidal activities of kainic and domoic acids with compds. of simpler structure and much easier accessibility, the highly functionalized imidazolines I (R = H, Me, Bu) were prepared This involved a synthesis of suitably protected β-aminoglutamic acid derivs. as key intermediates, which were condensed with ortho esters and deprotected to yield the desired compds.

ACCESSION NUMBER: 1997:149290 CAPLUS
DOCUMENT NUMBER: 126:251369
TITLE: The synthesis of imidazoline analogs of the kainoid family
AUTHOR(S): Baumgartner, Hansruedi; O'Sullivan, Anthony C.
CORPORATE SOURCE: Ciba-Geigy AG, Basel, CH-4002, Switz.
SOURCE: Tetrahedron (1997), 53(8), 2775-2784
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 126:251369
REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 31 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN
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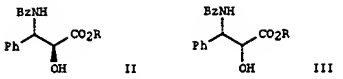

II III

AB Reduction and resolution methods for the preparation of compds. R3CH(W)CH(OH)CO2R5 (W = N3, NHR5, NHCOR1; R1 = R5, OR7, SR7, NR5R6; R5, R6 = independently H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, aryl, heteroaryl; R7 = alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, aryl, heteroaryl) useful as intermediates in the preparation of taxanes, and particularly for preparation of desired stereoisomers for use in the formation of the C-13 side chain of pharmaceutically useful taxanes such as paclitaxel. Thus, reduction of BzNHCHPhCOCO2Et (I), prepared in 3 steps from DL-phenylglycine, BzCl, and EtO2CCOCl, with Bu4NBH4 in CH2Cl2 gave 66.3% racemic anti ester (±)-II (R = Et). Saponification of (±)-II (R = Et) with LiOH gave racemic acid (±)-II (R = H), which was resolved with (S)-(-)-α-methylbenzylamine to give (2S,3S)-(-)-N-benzoyl-3-phenylisoserine [(-)-II (R = H)]. Catalytic reduction of I with H2 and 5% Pd/C in HCl/water/EtOH gave 52% racemic syn ester (±)-III. Saponification of (±)-III (R = Et) with LiOH gave racemic acid (±)-III (R = H), which was resolved with (R)-(+)-α-methylbenzylamine to give (2R,3S)-(-)-N-benzoyl-3-phenylisoserine [(-)-III (R = H)].

ACCESSION NUMBER: 1997:130426 CAPLUS
DOCUMENT NUMBER: 126:212434
TITLE: Reduction and resolution methods for the preparation of phenylserine derivatives useful as intermediates for preparing taxanes
INVENTOR(S): Li, Wen-sen; Thottathil, John K.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: U.S., 11 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5602272	A	19970211	US 1994-263869	19940621
US 5817867	A	19981006	US 1996-742732	19961101
PRIORITY APPLN. INFO.:			US 1994-263869	A3 19940621
OTHER SOURCE(S):	CASREACT 126:212434; MARPAT 126:212434			

L4 ANSWER 32 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN
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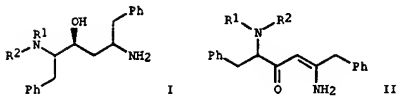

I II

AB A process is disclosed for the preparation of title compds. I by catalytic hydrogenation of enamino ketones II [wherein R1 and R2 = (un)substituted benzyl or naphthylmethyl; or R1R2 = CH2XCH2; X = (un)substituted 1,2-C6H4 or 1,8-naphthalenediyl; or an acid addition salt thereof]. I are intermediates for known HIV protease inhibitors. For example, benzylation of L-phenylalanine with K2CO3 and PhCH2Cl in aqueous EtOH gave N,N-dibenzyl-L-phenylalanine benzyl ester, which was condensed with MeCN using NaNH2 or KOBu-tert in various solvents to give approx. 57-59% (S)-PhCH2CH[N(CH2Ph)2]COCH2CN. Reaction of this with PhCH2MgCl gave II [R1 = R2 = CH2Ph]. Hydrogenation of the latter over Pt (supported on either C or Deloxan® AP II) at 250-1000 psi, in EtOH in the presence of MeSO3H, gave I [R1 = R2 = CH2Ph]. The first 3 steps were demonstrated on a scale of approx. 100-200 kg.

ACCESSION NUMBER: 1996:363363 CAPLUS
DOCUMENT NUMBER: 125:33306
TITLE: Process for the preparation of a phenyl-disubstituted 2,5-diamino-3-hydroxyhexane
INVENTOR(S): Haight, Anthony R.; Goodmonson, Owen J.; Parekh, Shyamal I.; Robbins, Timothy A.; Seif, Louis S.
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: PCT Int. Appl., 24 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9604232	A1	19960215	WO 1995-US9133	19950717
W: CA, JP, MX				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2192836	AA	19960215	CA 1995-2192836	19950717
EP 773921	A1	19970521	EP 1995-926318	19950717
EP 773921	B1	19991201		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 10503772	T2	19980407	JP 1995-506551	19950717
AT 187160	E	19991215	AT 1995-926318	19950717
ES 2143058	T3	20000501	ES 1995-926318	19950717
PT 773921	T	20000531	PT 1995-926318	19950717
US 5672706	A	19970930	US 1996-633605	19960417
GR 3032553	T3	20000531	GR 2000-400249	20000202
PRIORITY APPLN. INFO.:			US 1994-283109 A	19940729
			WO 1995-US9133 W	19950717
OTHER SOURCE(S):	CASREACT 125:33306; MARPAT 125:33306			

L4 ANSWER 32 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L4 ANSWER 33 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN

AB An efficient approach to practical synthesis of β-fluoroalkyl-β-amino acids is described. The method consists in the reducing reagent-free base-catalyzed biomimetic transamination reaction between fluorinated β-keto carboxylic esters and benzylamine. This transformation involves two sequential base-catalyzed [1,3]-proton transfers giving rise to corresponding N-benzylidene derivs. as the products of final thermodn. equilibration, directed by the electron-withdrawing character of fluoroalkyl groups. Opportunity for catalytic enantiocontrolled synthesis of targeted β-amino acids with application of monochiral base, as a catalyst for these isomerizations, is demonstrated.

ACCESSION NUMBER: 1996:309977 CAPLUS
DOCUMENT NUMBER: 125:87124
TITLE: Biomimetic base-catalyzed [1,3]-proton shift reaction. A practical synthesis of β-fluoroalkyl-β-amino acids
AUTHOR(S): Soloshonok, Vadim A.; Kukhar, Valery P.
CORPORATE SOURCE: Natl. Inst. Res., Inst. Nagoya, Nagoya City, 462, Japan
SOURCE: Tetrahedron (1996), 52(20), 6953-6964
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 125:87124

L4 ANSWER 34 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN

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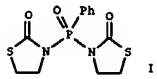

I

AB 3,3'-(Phenylphosphoryl)-bis(1,3-thiazolidine-2-thione) (I), obtained from phenylphosphonic dichloride and sodium salt of 1,3-thiazolidine-2-thione, proved to be useful for intramol. dehydration of various β-amino acids to give the corresponding β-lactams.

ACCESSION NUMBER: 1996:97042 CAPLUS
DOCUMENT NUMBER: 124:232085
TITLE: A facile synthesis of β-lactams by the cyclization of β-amino acids exploiting 3,3'-(phenylphosphoryl)-bis(1,3-thiazolidine-2-thione)
AUTHOR(S): Nagao, Yoshimitsu; Kumagai, Toshio; Tamai, Satoshi; Matsunaga, Hiroshi; Abe, Takao; Inoue, Yoshinori
CORPORATE SOURCE: Fac. Pharm. Sci., Univ. Tokushima, Tokushima, 770, Japan
SOURCE: Heterocycles (1996), 42(2), 849-59
CODEN: HTCYAM; ISSN: 0385-5414
PUBLISHER: Japan Institute of Heterocyclic Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 124:232085

L4 ANSWER 35 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN

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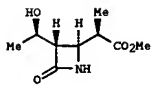

I

AB The synthesis of the 1β-methylcarbapenem key intermediate I from the enamino ketone MeCOC(CO2Me):C(NHCH2Ph)CHMeCO2Me (II) was investigated. Stereoselective reduction of II and effective lactonization of the crude reduction product are described. The Me group in II was shown to play an important role in these steps.

ACCESSION NUMBER: 1995:440115 CAPLUS
DOCUMENT NUMBER: 122:265097
TITLE: Synthetic studies of carbapenem and penem antibiotics. VI. Stereoselective reduction of enamino ketone and lactonization of the reduction product for the synthesis of 1β-methylcarbapenem
AUTHOR(S): Matsumura, Haruki; Nozaki, Yoshihito; Sunagawa, Makoto
CORPORATE SOURCE: Development Research Laboratories I, Sumitomo Pharmaceuticals Research Center, Osaka, 554, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1994), 42(12), 2467-71
CODEN: CPBTAL; ISSN: 0009-2363
PUBLISHER: Pharmaceutical Society of Japan
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 122:265097

L4 ANSWER 36 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN

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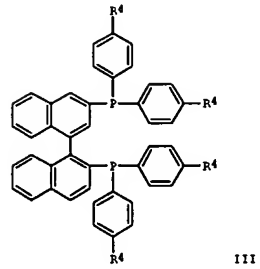
II



III

AB Optically active β-amino acids R1CONHCHR2CH2CO2H (R1 = lower alkyl, Ph, CH2Ph; R2 = lower alkyl, optionally substituted by Ph or alkoxycarbonyl), useful as intermediates for physiol. active peptides or β-lactam antibiotics, are prepared by asym. hydrogenation of (Z)-3-N-acylamino-3-alkylacrylic acids (I; R1, R2 = same as above) in the presence of an optically active ruthenium-phosphine complex, particularly represented by RuHCl(R4-BINAP)2, [RuH(R4-BINAP)2]Y, or [Ru(R4-BINAP)](O2CR5)2 (wherein R4-BINAP is represented by tertiary phosphine II; R4 = H, lower alkyl; Y = BF4-, PF6-, ClO4-, SbF6-; R5 = lower alkyl). This process uses relatively inexpensive catalysts, ruthenium-phosphine complexes, and gives β-amino acids of high optical purity. Thus, 58 mg (Z)-3-benzamido-2-hexenoic acid (III), 3.7 mg [RuH[(+)-BINAP]]2PF6 (preparation given), 1.25 mL THF, and 1.25 mL MeCH were hydrogenated in a stainless steel autoclave under H pressure 5 atm at 50° for 24 h to give 100% (3S)-(+)-3-benzamidohexanoic acid of 83% e.e. Similarly, asym. hydrogenation of III in the presence of [Ru[(-)-BINAP]](O2CMe)2 (preparation given) gave 100% (3R)-(-)-3-benzamidohexanoic acid of 72% e.e.

ACCESSION NUMBER: 1995:294154 CAPLUS
DOCUMENT NUMBER: 122:291528
TITLE: Preparation of optically active β-amino acids by asymmetric hydrogenation of (Z)-3-N-acylamino-3-alkylacrylic acids
INVENTOR(S): Saburi, Masahiko; Ueda, Yoichiro; Oonishi, Atsushi
PATENT ASSIGNEE(S): Daicel Chem, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 21 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

L4 ANSWER 36 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

JP 06271520	A2	19940927	JP 1993-60011	19930319
JP 3493206	B2	20040203		
PRIORITY APPLN. INFO.:			JP 1993-60011	19930319

OTHER SOURCE(S): CASREACT 122:291528; MARPAT 122:291528

L4 ANSWER 37 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN

AB Starting from easily available Et 2-methyl-4,4,4-trifluoroacetoacetate and benzylamine each of the 4 stereoisomers of α-methyl-β-trifluoromethyl-β-alanine were prepared in optically pure form via stereocontrolled chemo-enzymic procedure including diastereoselective base-catalyzed [1,3]-proton shift reaction and enantioselective penicillin acylase-catalyzed resolution

ACCESSION NUMBER:	1995:31666 CAPLUS
DOCUMENT NUMBER:	122:10499
TITLE:	Chemo-enzymic approach to the synthesis of each of the four isomers of α-alkyl-β-fluoroalkyl-substituted β-amino acids
AUTHOR(S):	Soloshonok, Vadim A.; Kirilenko, Alexander G.; Fokina, Nataly A.; Kukhar, Valery P.; Galushko, Sergey V.; Svedas, Vytas K.; Resnati, Giuseppe
CORPORATE SOURCE:	Catalysis Research Center, Hokkaido Univ., Sapporo, 060, Japan
SOURCE:	Tetrahedron: Asymmetry (1994), 5(7), 1225-8 CODEN: TASYE3; ISSN: 0957-4166
DOCUMENT TYPE:	Journal
LANGUAGE:	English
OTHER SOURCE(S):	CASREACT 122:10499

L4 ANSWER 38 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN

AB The sweetener α-aspartame [H-Asp-Phe-OMe] (I) is prepared by an improved method. L-Aspartic acid (II) reacts with a keto ester in an alc. solution of either an alkali metal hydroxide or an organic base, giving a solution of a Dane salt (enamine derivative) of II. This solution is added to an organic solvent at a temperature which produces microazeotropic microdistns., resulting in an advantageous granular crystalline form of the Dane salt, which rapidly and selectively forms anhydrides at the α-position carboxylate group. The salt is activated by an active chlorine compound (e.g., an acid chloride) in the presence of a catalyst and a carboxylic acid, and the product is then used to acylate H-Phe-OMe. Subsequent reaction with an organic acid in the presence of NaCl, crystallization of I.HCl, and desalification of this in a C1-3 alc., gives I. For example, reaction of II with Me acetoacetate and KOH in refluxing MeOH gave a solution of the Dane salt [i.e., L-KO2CCH2CH(CO2K)NHC(Me):CHCO2Me] (III), which was subjected to repeated addition and distillation of PhMe, with removal of H2O and MeOH, to give III in PhMe in 100% yield. This was cooled, then treated with 2-ethylhexanoic acid, γ-picoline (catalyst), pivaloyl chloride, more γ-picoline, and finally H-Phe-OMe in PhMe. The mixture was warmed and treated with 1N HCl and NaCl to precipitate crystalline I.HCl, which was cold-filtered (78% yield), then decolorized with C in MeOH and neutralized with NH3 to pH 4.8 to give I.

ACCESSION NUMBER:	1994:656339 CAPLUS
DOCUMENT NUMBER:	121:256339
TITLE:	Process for preparation of α-aspartame
INVENTOR(S):	Palomo Coll, Alberto
PATENT ASSIGNEE(S):	Centro Genesis para la Investigacion S.L., Spain
SOURCE:	Span., 5 pp. CODEN: SPXXAD
DOCUMENT TYPE:	Patent
LANGUAGE:	Spanish
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ES 2042417	A1	19931201	ES 1992-1006	19920518
ES 2042417	B1	19940601		
PRIORITY APPLN. INFO.:			ES 1992-1006	19920518

OTHER SOURCE(S): CASREACT 121:256339

L4 ANSWER 39 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN

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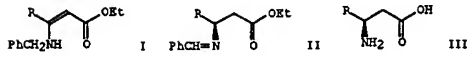

AB [1,3]-Proton shift reaction of N-benzylenamines I [R = CF3, C2F5, (CF2)2H, C3F7, (CF2)4HCHF2], derived from β-polyfluoroalkyl-β-keto carboxylic esters and benzylamine, was catalyzed by (-)-cinchonidine (5-13 mol %) to give good yields (67-89%) of enantiomerically enriched (up to 36% ee) N-benzylidene derivs. II. II were readily hydrolyzed into the corresponding optically active (R)-β-polyfluoroalkyl β-amino acids III (87-93% yield).

ACCESSION NUMBER:	1994:534754 CAPLUS
DOCUMENT NUMBER:	121:134754
TITLE:	Catalytic asymmetric synthesis of β-fluoroalkyl β-amino acids via biomimetic [1,3]-proton shift reaction
AUTHOR(S):	Soloshonok, Vadim A.; Kirilenko, Alexander G.; Galushko, Sergey V.; Kukhar, Valery P.
CORPORATE SOURCE:	Inst. Bioorganic Chem. & Petrochem., Ukrainian Academy Sciences, Kiev, 253160, Ukraine
SOURCE:	Tetrahedron Letters (1994), 35(28), 5063-4 CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE:	Journal
LANGUAGE:	English
OTHER SOURCE(S):	CASREACT 121:134754

L4 ANSWER 40 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN
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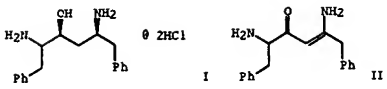

AB A novel and practical synthesis of hydroxyethylene dipeptide isostere I from L-phenylalanine via the formation and stereospecific reduction of enaminone II is described.

ACCESSION NUMBER: 1994:509615 CAPLUS
DOCUMENT NUMBER: 121:109615
TITLE: An efficient stereocontrolled strategy for the synthesis of hydroxyethylene dipeptide isosteres
AUTHOR(S): Stuk, Timothy L.; Haight, Anthony R.; Scarpetti, David; Allen, Michael S.; Menzia, Jerome A.; Robbins, Timothy A.; Parekh, Shyamal I.; Langridge, Denton C.; Tien, Jien-Heh J.; et al.
CORPORATE SOURCE: Abbott Laboratories, North Chicago, IL, 60064, USA
SOURCE: Journal of Organic Chemistry (1994), 59(15), 4040-1
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 121:109615

L4 ANSWER 41 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN
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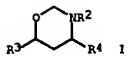

AB γ-Amino alcs. HOCHR3CH2CHR4NR1R2 [R1R2N = amino, anilino, 1-pyrrolidinyl, etc.; R3 = Me, Ph, Et, phenethyl, R4 = Me; R3 = Me, R4 = phenethyl; or R3R4 = (CH2)3 or CH2CMe2CH2] can be easily synthesized in very good yields by reduction of enaminones R3COCH:CR4NR1R2 with Na in iso-Pr alc.-tetrahydrofuran. The reaction is fast, easy to perform, inexpensive and the easily accessible starting materials provide a convenient entry to γ-amino alcs. The relative configuration of the diastereoisomeric γ-amino alcs. was assigned by 1H and 13C NMR studies and by conversion to tetrahydro-1,3-oxazine derivs. I.

ACCESSION NUMBER: 1994:434754 CAPLUS
DOCUMENT NUMBER: 121:34754
TITLE: Convenient procedure for the reduction of β-enamino ketones: synthesis of γ-amino alcohols and tetrahydro-1,3-oxazines
AUTHOR(S): Bartoli, Giuseppe; Cimarelli, Cristina; Palmieri, Gianni
CORPORATE SOURCE: Dip. Sci. Chim., Camerino, I-62032, Italy
SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1994), (5), 537+43
CODEN: JCPRB4; ISSN: 0300-922X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 121:34754

L4 ANSWER 42 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN
AB The base-catalyzed isomerization of enamines PhCH2NHCR:CR1CO2R2 (R = C3F7, CF2CF3, CF3, CHF2; R1 = H, Me, Et; R2 = Me, Et) or N-benzylimines PhCH2N:CRCHR1CO2R2 cleanly afford the N-benzylidene derivs. PhCH:NCHRCHR1CO2R2, which are hydrolyzed to corresponding amino acids H2NCHRCHR1CO2H in high overall yields.

ACCESSION NUMBER: 1993:603782 CAPLUS
DOCUMENT NUMBER: 119:203782
TITLE: Transamination of fluorinated β-keto carboxylic esters. A biomimetic approach to β-polyfluoroalkyl-β-amino acids
AUTHOR(S): Soloshonok, V. A.; Kirilenko, A. G.; Kukhar, V. P.
CORPORATE SOURCE: Inst. Bioorg. Chem. Petrol Chem., Kiev, 253160, Ukraine
SOURCE: Tetrahedron Letters (1993), 34(22), 3621-4
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 119:203782

L4 ANSWER 43 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN
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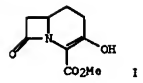

AB A synthetic approach to the carbacephem I is based on the formation of the N-carboxymethyl-β-lactam system by a four-component condensation, the cleavage of a carboxamide via the N-tert-butoxycarbonyl derivative and the masking of a carboxyl group as its 4,5-diphenyloxazolyl derivative that is convertible to an N,N-dibenzoylamide by photooxidn.

ACCESSION NUMBER: 1990:531810 CAPLUS
DOCUMENT NUMBER: 113:131810
TITLE: The synthesis of carbapenem and carbacephem derivatives by a combination of 4CC (four-component condensation) with the chemistry of oxazoles and N-BOC-carbonamides
AUTHOR(S): Meyer, Gebhard; Achatz, Josef; Danzer, Bernhard; Ugi, Ivar
CORPORATE SOURCE: Org.-Chem. Inst., Tech. Univ. Muenchen, Garching, D-8046, Germany
SOURCE: Heterocycles (1990), 30(2, Spec. Issue), 863-9
CODEN: HTCYAM; ISSN: 0385-5414
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 113:131810

L4 ANSWER 44 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN

AB Heating azomethine CF3CPh:NCHMePh 24 h at 120° in the presence of DBU resulted in proton shift to give CF3CHPhN:CMePh, which was cleaved by 2N HCl to CF3CHPhNH2.HCl. Similarly, heating CF3C(NHCHMePh):CHCO2Me and DBU 1 h at 225° and hydrolysis gave amino acid CF3CH(NH2)CH2CO2H.HCl.

ACCESSION NUMBER: 1990:478920 CAPLUS
DOCUMENT NUMBER: 113:78920
TITLE: Asymmetrical [1,3]-proton shift in azomethines - new approach to the synthesis of optically active α-trifluoromethyl-containing amines and amino acids
AUTHOR(S): Kukhar, V. P.; Soloshonok, V. A.; Galushko, S. V.; Rozhenko, A. B.
CORPORATE SOURCE: Inst. Bioorg. Khim., Kiev, USSR
SOURCE: Doklady Akademii Nauk SSSR (1990), 310(4), 886-9 [Chem.]
CODEN: DANKAS; ISSN: 0002-3264
DOCUMENT TYPE: Journal
LANGUAGE: Russian
OTHER SOURCE(S): CASREACT 113:78920

L4 ANSWER 45 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN

AB New peptide mimetics incorporating eneamine units are described. Tripeptides containing a retroamide, e.g. RO2C(CHMe2):CH-L-Phe-NHCH(CH2Ph)NHAc-(R) (R = Me, Et), and a reduced retroamide, e.g. RO2CCH(CHMe2)CH2-L-Phe-NHCH(CH2Ph)NHAc-(R), have been prepared

ACCESSION NUMBER: 1990:441287 CAPLUS
DOCUMENT NUMBER: 113:41287
TITLE: Novel peptide surrogates: the retroreduced isostere
AUTHOR(S): Campbell, M. M.; Ross, B. C.; Semple, G.
CORPORATE SOURCE: Sch. Chem., Univ. Bath, Bath, BA2 7AY, UK
SOURCE: Tetrahedron Letters (1989), 30(48), 6749-52
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 113:41287

L4 ANSWER 46 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN

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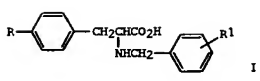

I

AB A series of N-substituted amino acid derivs., e.g. I (R = H, Cl, OH, OMe, Me; R1 = 2-, 3-, or 4-Cl, 4-OH, 4-Ph, 4-Me, 4-NMe2, 2- or 3-CF3, etc.), was synthesized and the compds. were evaluated for their effects on serum total cholesterol, HDL cholesterol, and triglycerides in exptl. animals. Hyperalphalipoproteinemic activity was found for some of the compds. tested, especially BRL 26314 (I, R = H, R1 = 4-Cl) and related 3-aryl-2-(arylmethyl)aminopropionic acids. Structure-activity relationships are discussed.

ACCESSION NUMBER: 1989:633516 CAPLUS
DOCUMENT NUMBER: 111:233516
TITLE: N-Substituted amino acid derivatives with hyperalphalipoproteinaemic activity
AUTHOR(S): Baggaley, Keith H.; Fears, Robin; Ferres, Harry; Geen, Graham R.; Hatton, Ian K.; Jennings, L. John A.; Tyrrell, A. William R.
CORPORATE SOURCE: Biosci. Res. Cent., Beecham Pharm. Res. Div., Epsom/Surrey, KT18 5XQ, UK
SOURCE: European Journal of Medicinal Chemistry (1988), 23(6), 523-31
CODEN: EJMCA5; ISSN: 0223-5234
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 111:233516

L4 ANSWER 47 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN

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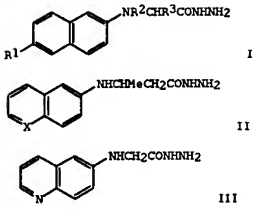

I

II

III

AB N-(2-Naphthyl)glycine hydrazide analogs were synthesized and tested for possible in vitro antitubercular activity. Analogs I (R1 = R2 = H, R3 = Me; R1 = R3 = H, R2 = Me; R1 = OMe, R2 = R3 = H) and II (X = CH) showed potent inhibitory action against Mycobacterium tuberculosis H37Rv in Youman's medium at concns. ranging from 0.5 to 10.0 μg/mL. These compds. showed significant inhibitory action against isonicotinic acid hydrazide and streptomycin-resistant strains of M. tuberculosis. II (X = N) and quinolylglycine hydrazide III showed a loss of antitubercular activity at low concns.

ACCESSION NUMBER: 1989:595365 CAPLUS
DOCUMENT NUMBER: 111:195365
TITLE: Synthesis and antitubercular activity of N-(2-naphthyl)glycine hydrazide analogs
AUTHOR(S): Ramamurthy, B.; Bhatt, M. V.
CORPORATE SOURCE: Dep. Org. Chem. Microbiol., Indian Inst. Sci., Bangalore, 560012, India
SOURCE: Journal of Medicinal Chemistry (1989), 32(11), 2421-6
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 111:195365

L4 ANSWER 48 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN
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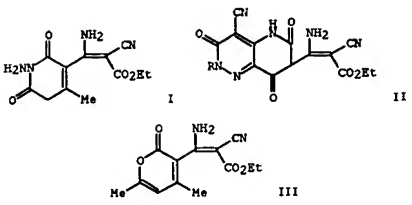

I II III

AB Various pyridines, e.g., I, pyrido[3,2-c]pyridazines, e.g., II (R = Ph, 4-MeC6H4, 4-BrC6H4), and pyrans, e.g. III, were prepared from di-Et 3-amino-2-cyano-2-pentenedioate.

ACCESSION NUMBER: 1989:439155 CAPLUS
DOCUMENT NUMBER: 111:39155
TITLE: A convenient synthesis of ethyl β-dioxohydropyridinyl-, ethyl β-dihydrodioxopyrido[3,2-c]pyridazinyl- and ethyl β-oxopyranylacrylate derivatives
AUTHOR(S): Abdel Galil, Fathy M.; Hashim, Obeys K.; Saleh, Sohair S.
CORPORATE SOURCE: Fac. Sci., Cairo Univ., Giza, Egypt
SOURCE: Heterocycles (1988), 27(10), 2301-4
CODEN: HTCYAM; ISSN: 0385-5414
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 111:39155

L4 ANSWER 49 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN
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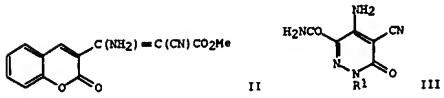

II III

AB RNHCOCH2C(NH2):CH(CN)CO2Me (I, R = H) was prepared by amidation of the diester. The dimethylamide and I (R = Ph, substituted Ph) were similarly prepared Reaction of I (R = H) with 2-HOC6H4CHO gave pyrone II. I (R = H) reacted with R1N2+Cl- (R1 = Ph, substituted Ph) to give pyridazinones III.

ACCESSION NUMBER: 1989:135171 CAPLUS
DOCUMENT NUMBER: 110:135171
TITLE: Syntheses with nitriles. LXXIX. Methyl 3-amino-4-carbamoyl-2-cyano-2-butenoate, a dimer of methyl cyanoacetate and cyanoacetamide
AUTHOR(S): Junek, Hans; Sarhan, El Taher; Sterk, Heinz
CORPORATE SOURCE: Inst. Org. Chem., Karl Franzens Univ., Graz, A-8010, Austria
SOURCE: Monatshefte fuer Chemie (1988), 119(6-7), 717-26
CODEN: MOCMB7; ISSN: 0026-9247
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 110:135171

L4 ANSWER 50 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN
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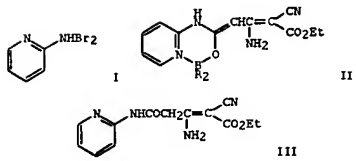

I II III

AB Condensing NCCH2CO2Et with borylaminopyridines I (R = Pr, Bu) gave chelates II, which on refluxing in EtOH gave pyridine III. Treating III with R2BSBu gave II.

ACCESSION NUMBER: 1988:493090 CAPLUS
DOCUMENT NUMBER: 109:93090
TITLE: Condensation of ethyl cyanoacetate with dialkylboryl derivatives of 2-aminopyridine
AUTHOR(S): Dorokhov, V. A.; Baranin, S. V.
CORPORATE SOURCE: Inst. Org. Khim. im. Zelinskogo, Moscow, USSR
SOURCE: Izvestiya Akademii Nauk SSSR, Seriya Khimicheskaya (1987), (4), 954-5
CODEN: IASKA6; ISSN: 0002-3353
DOCUMENT TYPE: Journal
LANGUAGE: Russian
OTHER SOURCE(S): CASREACT 109:93090

L4 ANSWER 51 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN

AB The synthesis of phosphonohistidine [His(P)] and phosphonoisohistidine [Isohis(P)] is described, in each case by a strategy in which the α-aminophosphonic acid grouping is assembled first and the imidazole ring is built last. The key α-aminophosphonic acid building block is phosphonoaspartic acid, protected as the N-acetyl phosphonate di-Et ester derivative The NMR spectra of histidine, isohistidine, phosphonohistidine, and phosphonoisohistidine are analyzed at three pH values, using an iterative spin simulation program to confirm results where necessary. The preferred conformations of the four compds. are determined from vicinal H,H and H,P coupling consts. This allows prediction of the conformational differences to be expected in replacing carboxylate by phosphonate groups. In free energy terms, phosphonate appears to exert a larger steric effect than carboxylate by ca. 1 kcal mol-1.

ACCESSION NUMBER: 1988:423340 CAPLUS
DOCUMENT NUMBER: 109:23340
TITLE: The synthesis and rotational isomerism of [1-amino-2-(4-imidazolyl)ethyl]phosphonic acid [phosphonohistidine, His(P)] and [1-amino-2-(2-imidazolyl)ethyl]phosphonic acid [phosphonoisohistidine, isohis(P)]
AUTHOR(S): Merrett, John H.; Spurden, William C.; Thomas, W. Anthony; Tong, Brian P.; Whitcombe, Ian W. A.
CORPORATE SOURCE: Roche Prod. Ltd., Welwyn Garden City/Hertfordshire, AL7 3AY, UK
SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1988), (1), 61-7
CODEN: JCPRB4; ISSN: 0300-922X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 109:23340

L4 ANSWER 52 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN

AB Treatment of BzNHCHMeCHRCO2R1 (R = H, Et; R1 = Me, Et) with 2 equiv of (Me2CH)2NLi followed by electrophiles (e.g., MeI, EtI, CH2:CHCH2Br) gives predominantly (R*S*-BzNHCHMeCRR2CO2R1 (same R, R1; R2 = Me, Et, allyl) in diastereomeric ratios of 4-99:1. Methods are also presented to make enantiomerically pure derivs. of HZNCHMeCH2CO2H starting from (R)-HOCHMeCH2CO2H or MeCH:CHCO2Me.

ACCESSION NUMBER: 1988:94132 CAPLUS
DOCUMENT NUMBER: 108:94132
TITLE: α-Alkylation of β-aminobutanoates with 1k-1.2-induction
AUTHOR(S): Seebach, Dieter; Estermann, Heinrich
CORPORATE SOURCE: Lab. Org. Chem., Eidg. Tech. Hochsch., Zurich, CH-8092, Switz.
SOURCE: Tetrahedron Letters (1987), 28(27), 3103-6
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 108:94132

L4 ANSWER 53 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN

GI

I

AB The chemical structures of 3 new monobactams, PB-5266 A, B, and C [(R,R)-I, R = Me, CH2OH, H] were elucidated by their physico-chemical properties and spectrometric studies.

ACCESSION NUMBER: 1988:75043 CAPLUS
DOCUMENT NUMBER: 108:75043
TITLE: PB-5266 A, B and C, new monobactams. II. Physicochemical properties and chemical structures
AUTHOR(S): Kato, Toshiyuki; Hinoo, Hiroshi; Terui, Yoshihiro; Nishikawa, Junko; Nakagawa, Yuzo; Ikenishi, Yuji; Shoji, Junichi
CORPORATE SOURCE: Shionogi Res. Lab., Shionogi and Co., Ltd., Osaka, 553, Japan
SOURCE: Journal of Antibiotics (1987), 40(2), 139-44
CODEN: JANTAJ; ISSN: 0021-8820
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 108:75043

L4 ANSWER 54 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN

AB A variety of polyfunctional pyridine azo dyes and tetrazole dyes were prepared starting from Et cyanoacetate dimer [28447-79-2]. These derivs. dyed cellulose acetate, nylon 6, nylon 66, silk and wool with colors ranging from canary yellow to light violet.

ACCESSION NUMBER: 1987:121381 CAPLUS
DOCUMENT NUMBER: 106:121381
TITLE: Dimerized ethyl cyanoacetate in heterocyclic dye synthesis: new pyridine azo dyes and tetrazole dyes
AUTHOR(S): Fahmy, Sherif M.; Mohareb, Rafat M.; Abd-All, Fatma A.
CORPORATE SOURCE: Fac. Sci., Cairo Univ., Giza, Egypt
SOURCE: Journal of Chemical Technology and Biotechnology (1986), 36(9), 410-14
CODEN: JCTBED; ISSN: 0268-2575
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 106:121381

L4 ANSWER 55 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN

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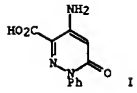

I

AB The dimerization of NCCH2CONH2 gave HO2CC(NH2):C(CN)CONH2 which cyclized with CH2(COMe)2PhCH:CRCN (R = cyano, CO2Et), and EtOCH:C(CN)CO2Et to give pyridine derivs. and with PhN2+Cl- to give the pyridazine I.

ACCESSION NUMBER: 1987:4964 CAPLUS
DOCUMENT NUMBER: 106:4964
TITLE: Activated nitriles in heterocyclic synthesis. A novel synthesis of pyridine and pyridazine derivatives
AUTHOR(S): Fahmy, Sherif Mahmoud; Mohareb, Rafat Milad
CORPORATE SOURCE: Fac. Sci., Cairo Univ., Giza, Egypt
SOURCE: Synthesis (1985), (12), 1135-7
CODEN: SYNTBF; ISSN: 0039-7881
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 106:4964

L4 ANSWER 56 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN
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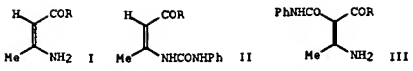

AB Reaction of enamino carbonyls I (R = Me, OEt, NHPh) with PhNCO in acetone gave mixts. of N- and C-adducts, i.e., II and III. Solvent and substituent effects were investigated. Treating I with PhNCS gave only C-adducts. On the other hand, treating EtO2CCMe:CMeNH2 with PhNCO gave only the N-adduct.

ACCESSION NUMBER: 1986:406108 CAPLUS
DOCUMENT NUMBER: 105:6108
TITLE: Reactivity of enamino carbonyl compounds toward phenyl isocyanate and isothiocyanate
AUTHOR(S): Maquestiau, A.; Vanden Eynde, J. J.; Monclus, M.
CORPORATE SOURCE: Lab. Chim. Org., Univ. Etat, Mons, 7000, Fr.
SOURCE: Bulletin des Societes Chimiques Belges (1985), 94(8), 575-83
CODEN: BSCBAG; ISSN: 0037-9646
DOCUMENT TYPE: Journal
LANGUAGE: French
OTHER SOURCE(S): CASREACT 105:6108

L4 ANSWER 57 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN
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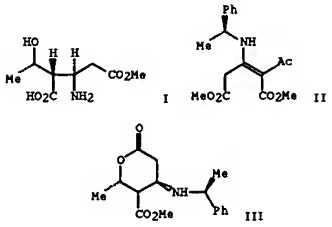

AB A practical, high-yielding synthesis of the chiral amino acid I, a precursor to (+)-thienamycin and its derivs., has been achieved. The key element in the synthesis is the reduction of the enamino ketone II which establishes the 3 asym. centers. Two reduction procedures, one utilizing a borane-borohydride tandem combination and the other a catalytic hydrogenation, were used. The latter procedure required the isolation of only a single intermediate, the lactone diastereomer III.

ACCESSION NUMBER: 1986:186200 CAPLUS
DOCUMENT NUMBER: 104:186200
TITLE: An enantioselective approach to (+)-thienamycin from dimethyl 1,3-acetonedicarboxylate and (+)-α-methylbenzylamine
AUTHOR(S): Melillo, David G.; Cvetovich, Raymond J.; Ryan, Kenneth M.; Sletzinger, Meyer
CORPORATE SOURCE: Process Res. Dep., Merck Sharp Dohme Res. Lab., Rahway, NJ, 07065, USA
SOURCE: Journal of Organic Chemistry (1986), 51(9), 1498-504
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 104:186200

L4 ANSWER 58 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN
AB RCOCH2C(NH2)=C(CN)CO2Et (I R = OEt) was treated with aromatic amines and aminoheterocyclic compds. to yield amide derivs. I (R = PhNH) was cyclized with aryldiazonium chloride, Cl3CCN, NaOMe, and cinnanonitriles and yielded resp. pyridazine, pyrimidine, pyridone, and pyrano[4,3-b]pyridine derivs.

ACCESSION NUMBER: 1986:34047 CAPLUS
DOCUMENT NUMBER: 104:34047
TITLE: Activated nitriles in heterocyclic synthesis: a novel synthesis of pyridazine, pyrimidine, pyridine and pyrano[4,3-b]pyridine derivatives
AUTHOR(S): Mohareb, R. M.; Fahmy, S. M.
CORPORATE SOURCE: Fac. Sci., Cairo Univ., Giza, Egypt
SOURCE: Zeitschrift fuer Naturforschung, Teil B: Anorganische Chemie, Organische Chemie (1985), 40B(5), 664-8
CODEN: ZNBAD2; ISSN: 0340-5087
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 104:34047

L4 ANSWER 59 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN
AB The title acid (I) was prepared from alkyl acetates and FCH2CN; I is useful as a 4-aminobutyric acid transaminase inactivator (no data). Thus, MeCO2CMe3 was lithiated, the intermediate was treated with FCH2CN, and the FCH2C(NH2):CHCO2CMe3 obtained was treated with NaB(CN)H3, HCl, and then NH3 to give I.

ACCESSION NUMBER: 1985:522961 CAPLUS
DOCUMENT NUMBER: 103:122961
TITLE: An efficient synthesis of 3-amino-4-fluorobutanoic acid, an inactivator of GABA transaminase
AUTHOR(S): Mathew, Jacob; Invergo, Benedict J.; Silverman, Richard B.
CORPORATE SOURCE: Dep. Chem., Northwestern Univ., Evanston, IL, 60201, USA
SOURCE: Synthetic Communications (1985), 15(5), 377-83
CODEN: SYNCAV; ISSN: 0039-7911
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 103:122961

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AB A new-type condensation of enol silyl ethers with oxime sulfonates leading to enaminones has been demonstrated. This reaction proceeds with high regio- and chemospecificity. Among the condensation agents examined Et2AlCl and EtAlCl2 were found to be highly efficient and other Lewis acids gave less satisfactory results. Thus, condensation of Me(CH2)5C(:CH2)OSiMe3 with Et2C:NO3SMe and Et2AlCl gave 95% EtNHCEt:CHCO(CH2)5Me.

ACCESSION NUMBER: 1983:538962 CAPLUS
DOCUMENT NUMBER: 99:138962
TITLE: Carbon-carbon bond formation by selective coupling of enol silyl ethers with oxime sulfonates
AUTHOR(S): Matsumura, Yasushi; Fujiwara, Junya; Maruoka, Keiji; Yamamoto, Hisashi
CORPORATE SOURCE: Dep. Appl. Chem., Nagoya Univ., Chikusa, 464, Japan
SOURCE: Journal of the American Chemical Society (1983), 105(20), 6312-14
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 99:138962

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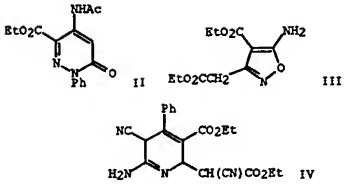

AB Pentenedioate ester EtO2CCH2C(NH2):C(CN)CO2Et (I) was converted to pyridazine derivative II, isoxazole derivative III, and pyridine derivative IV. Thus, PhNH2 was diazotized, the product reacted with I to yield EtO2CC(:NNHPh)C(NH2):C(CN)CO2Et, and the latter was treated with Ac2O to give II. I, HONH2.HCl, and NaOAc in EtOH was refluxed to give III. IV was obtained from I and PhCH:C(CN)2.

ACCESSION NUMBER: 1982:509951 CAPLUS
DOCUMENT NUMBER: 97:109951
TITLE: Activated nitriles in heterocyclic synthesis: novel synthesis of pyridazines, pyridines, and isoxazoles
AUTHOR(S): Fahmy, Sherif Mahmoud; Abed, Nosrat Mustafa; Mohareb, Rafat Milad; Elnagdi, Mohamed Hilmy
CORPORATE SOURCE: Fac. Sci., Cairo Univ., Giza, Egypt
SOURCE: Synthesis (1982), (6), 490-3
CODEN: SYNTBF; ISSN: 0039-7881
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 97:109951

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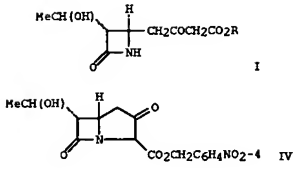

AB β-Lactams I (R = 4- or 2-O2NC6H4CH2, PhCH2, Ph, Me, Et, CMe3, CH2CCl3) were prepared and then converted to thienomycin (II). Thus, HO2CCH[CHMe(OH)]CH(NH2)CH2CO2Me was cyclized to a 2-oxo-4-azetidineacetate ester derivative, the latter was saponified, and the product was treated with (4-O2NC6H4CH2O2CCH2CO2)2Mg to yield I (R = 4-O2NC6H4) (III). III was converted to II by reaction with 4-MeC6H4SO2N3, cyclization of the product to thienomycin precursor IV, condensation of IV with a N-protected cysteamine, and subsequent deprotection.

ACCESSION NUMBER: 1982:35055 CAPLUS
DOCUMENT NUMBER: 96:35055
TITLE: Synthesis of thienamycin via esters of (3SR, 4RS)-3-[(SR)-1-hydroxyethyl]-(β),2-dioxo-4-azetidinebutanoic acid
INVENTOR(S): Liu, Thomas M. H.; Melillo, David G.; Ryan, Kenneth M.; Shinkai, Ichiro; Sletzinger, Meyer
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: U.S., 9 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4282148	A	19810804	US 1980-112022	19800114
US 4414155	A	19831108	US 1982-363339	19820329
PRIORITY APPLN. INFO.:			US 1980-112022 A3	19800114
			US 1981-236418 A1	19810220

OTHER SOURCE(S): CASREACT 96:35055

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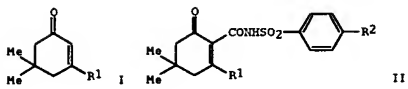

AB R1NHCMe:CHCO2Et (R1 = H, Pr, CHMe2, Bu, CH2CHMe2, pentyl, hexyl, cyclohexyl, CH2CH2Ph), R1NHCR3:CHCO2Et (R1 = Pr, Bu, CH2CHMe2, CH2CH2Ph; R3 = Ph, Bu), I (R1 = NH2, NHBu, PhNH, morpholino, pyrrolidino, piperidino, azepinyl), R1NHCMe:CHR3 (R1 = H, Bu, CH2CH2Ph; R3 = COMe, p-H2NCOC6H4, CN, CONHCO2Et) and R1CR3:CHNO2 (R1 = Me2N, PhNMe, pyrrolidino, isoquinolino, 1-(m-chlorophenyl)piperazino; R3 = Me2N, MeNH, pyrrolidino), which were partly deactivated by ester, ketone, amide, nitrile or nitro functions were treated with p-R2C6H4SO2NCO (R2 = H, Me, Cl) to yield the vinylogous sulfanylureas, e.g., MeC(NHR1):C(CO2Et)NHSO2C6H4R2-p, or II. Oral hypoglycemic activity was found in some of the compds.

ACCESSION NUMBER: 1980:620463 CAPLUS
DOCUMENT NUMBER: 93:220463
TITLE: Vinylogous sulfonylureas from enamines
AUTHOR(S): Viswanathan, N.; Ravindranath, K. R.; Talwalkar, P. K.
CORPORATE SOURCE: Research Cent., CIBA-GEIGY, Bombay, 400063, India
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1979), 17B(5), 478-82
CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 93:220463

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AB The asym. synthesis of H2NCHRCH2CO2H (I, R = Me, Ph) was achieved by the hydrogenation of (Z)-R1NHCR:CHCO2Et (II; R1 = (R)-CHMePh, (S)-CHMePh] over Pd(OH)2/C followed by hydrolysis (method A) or by the reduction of II with NaBH3CN followed by hydrogenolysis and hydrolysis (method B). The optical purities of I obtained by method A were a little higher than those obtained by method B. For each II the 2 methods resulted in different configurations of I, e.g., II [R = Me, R1 = (R)-CHMePh] (III) gave (R)-I (R = Me) [(R)-IV] via method A, but III gave (S)-IV via method B. II were prepared by treating R1NH2 with RCOCH2CO2Et.

ACCESSION NUMBER: 1980:129282 CAPLUS
DOCUMENT NUMBER: 92:129282
TITLE: Asymmetric syntheses of β-amino acids by the reduction of enamines
AUTHOR(S): Furukawa, Mitsuru; Okawara, Tadashi; Noguchi, Yoshihide; Terawaki, Yuriko
CORPORATE SOURCE: Fac. Pharm. Sci., Kumamoto Univ., Kumamoto, 862, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1979), 27(9), 2223-6
CODEN: CPBTAL; ISSN: 0009-2363
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 92:129282

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AB RNCO (R = Ph, PhSO2, p-tosyl) and RNCS (R = Ph, Bz) reacted with PhNHCPh:CHR1 (R1 = CONHPh, CONHSO2Ph, CONHSO2C6H4Me-p, CSNHPh, CSNHBz) in C6H6 or PhMe to give the corresponding PhNHCPh:CR1CZNR (Z = O, S), PhNHCPh:CHCZNHR, PhNHCPh:CHC(:NR1)NHR, and/or their cyclization products.

ACCESSION NUMBER: 1979:54632 CAPLUS
DOCUMENT NUMBER: 90:54632
TITLE: Reactions of isocyanic and isothiocyanic acid derivatives with Schiff bases. Part III. Derivatives of β-anilinocinnamamide and β-anilinocinnamthioamide
AUTHOR(S): Zankowska-Jasinska, Wanda; Borowiec, Halina
CORPORATE SOURCE: Inst. Chem., Jagiellonian Univ., Krakow, Pol.
SOURCE: Polish Journal of Chemistry (1978), 52(9), 1683-95
CODEN: PJCHDQ; ISSN: 0137-5083
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 90:54632

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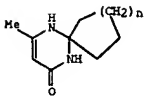

I

AB H2NCMe:CHCONH2 reacted with cyclopentanone, -hexanone, and -heptanone in inert solvents to give the spiro compds. I (n = 1, 2, 3), whose structures were confirmed by their reactivity as well as by their UV, IR, NMR and mass spectra.

ACCESSION NUMBER: 1977:468279 CAPLUS
DOCUMENT NUMBER: 87:68279
TITLE: Heterocycles, 54. 2,3-Dihydro-4(1H)-pyrimidinones
AUTHOR(S): Guebitz, G.; Wintersteiger, R.; Fuchsgruber, A.; Zigeuner, G.
CORPORATE SOURCE: Inst. Pharm. Chem., Univ. Graz, Graz, Austria
SOURCE: Monatshefte fuer Chemie (1977), 108(2), 381-6
CODEN: MOCMB7; ISSN: 0026-9247
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 87:68279

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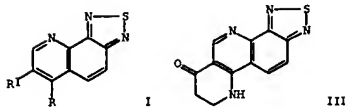

I III

AB Cyclocondensation of 4-aminobenzo-2,1,3-thiadiazole with EtOCH:C(CO2Et)2 gave the thiadiazoloquinoline I (R = HO, R1 = CO2Et) (II), which underwent successive POCl3 chlorination to give I (R = Cl, R1 = CO2Et), substitution reaction with β-alanine to give I (R = NHCH2CH2CO2H, R1 = CO2Et), saponification to give I (R = NHCH2CH2CO2H, R1 = CO2H), and Ac2O-KOAc catalyzed cyclization to give the thiadiazolonaphthridine III. II also underwent successive saponification, decarboxylation, POCl3 chlorination, and substitution reaction with Et2N(CH2)3CHMeNH2 to give I [R = Et2N(CH2)3CHMeNH, R1 = H].

ACCESSION NUMBER: 1976:421222 CAPLUS
DOCUMENT NUMBER: 85:21222
TITLE: Syntheses in benzo-2,1,3-thiadiazole series. II. Derivatives of 1,2,5-thiadiazolo[3,4-b]quinoline and benzo-2,1,3-thiadiazolo[4,5-h]-1,6-naphthyridine
AUTHOR(S): Mikhailitsyn, F. S.; Bekhli, A. F.
CORPORATE SOURCE: Inst. Med. Parazitol. Trap. Med. im. Martsinovskogo, Moscow, USSR
SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1976), (1), 61-4
CODEN: KGSSAQ; ISSN: 0132-6244
DOCUMENT TYPE: Journal
LANGUAGE: Russian
OTHER SOURCE(S): CASREACT 85:21222

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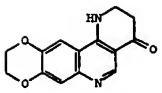

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AB The title compound I was prepared from Et 9-chloro-2,3-dihydro[1,4]dioxino[2,3-g]quinoline-8-carboxylate (II) by successive substitution reaction with β-alanine, saponification, and ring closure in Ac2O-KOAc. II was prepared from 6-amino-2,3-dihydro[1,4]benzodioxin by condensation with EtOCH:C(CO2Et)2 and subsequent cyclization.

ACCESSION NUMBER: 1976:150573 CAPLUS
DOCUMENT NUMBER: 84:150573
TITLE: Synthesis of naphthyridines. II. 2,3,9,10-Tetrahydro[1,4]benzodioxino[6,7-h][1,6]naphthyridin-4(1H)-one
AUTHOR(S): Mikhailitsyn, F. S.; Bekhli, A. F.
CORPORATE SOURCE: Inst. Med. Parazitol. Trop. Med. im. Martsinovskogo, Moscow, USSR
SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1975), (12), 1663-5
CODEN: KGSSAQ; ISSN: 0132-6244
DOCUMENT TYPE: Journal
LANGUAGE: Russian
OTHER SOURCE(S): CASREACT 84:150573

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